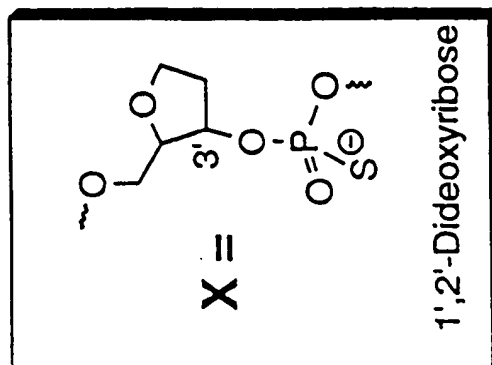


OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No. Sequence & Modification

| | | |
|-----------------------|-----------|------------------------------------|
| <u>SEQ ID NO.: 8</u> | <u>8</u> | 5'-CCTACTAGCGTTCTCATC-3' (133-1) |
| <u>SEQ ID NO.: 9</u> | <u>9</u> | 5'-CCTACTAGCXTTCTCATC-3' (139-2) |
| <u>SEQ ID NO.: 10</u> | <u>10</u> | 5'-CCTACTAXCGTTCTCATC-3' (133-2) |
| <u>SEQ ID NO.: 11</u> | <u>11</u> | 5'-CCTACTXGCGTTCTCATC-3' (139-3) |
| <u>SEQ ID NO.: 12</u> | <u>12</u> | 5'-CCTACXAGCGTTCTCATC-3' (133-3) |
| <u>SEQ ID NO.: 13</u> | <u>13</u> | 5'-CCTAXTAGCGTTCTCATC-3' (139-4) |
| <u>SEQ ID NO.: 14</u> | <u>14</u> | 5'-CCTXCTAGCGTTCTCATC-3' (133-4) |
| <u>SEQ ID NO.: 15</u> | <u>15</u> | 5'-CCTXCTAGCCTTCTCATC-3' (145-10a) |
| <u>SEQ ID NO.: 16</u> | <u>16</u> | 5'-CCTACTAGCGXTCTCATC-3' (133-5) |
| <u>SEQ ID NO.: 17</u> | <u>17</u> | 5'-CCTACTAGCGTXCTCATC-3' (139-7) |
| <u>SEQ ID NO.: 18</u> | <u>18</u> | 5'-CCTACTAGCGTTXTCATC-3' (133-6) |
| <u>SEQ ID NO.: 19</u> | <u>19</u> | 5'-CCTACTAGCGTTCXCATC-3' (139-8) |
| <u>SEQ ID NO.: 20</u> | <u>20</u> | 5'-CCTXXTAGCGTTCTCATC-3' (133-12) |
| <u>SEQ ID NO.: 21</u> | <u>21</u> | 5'-XXTAGCGTTCTCATC-3' (139-6) |
| <u>SEQ ID NO.: 22</u> | <u>22</u> | 5'-CCTACTAGCGTTCXXATC-3' (139-9) |
| <u>SEQ ID NO.: 23</u> | <u>23</u> | 5'-CCTXCTXGCGTTCTCATC-3' (145-10b) |

FIG. 1A



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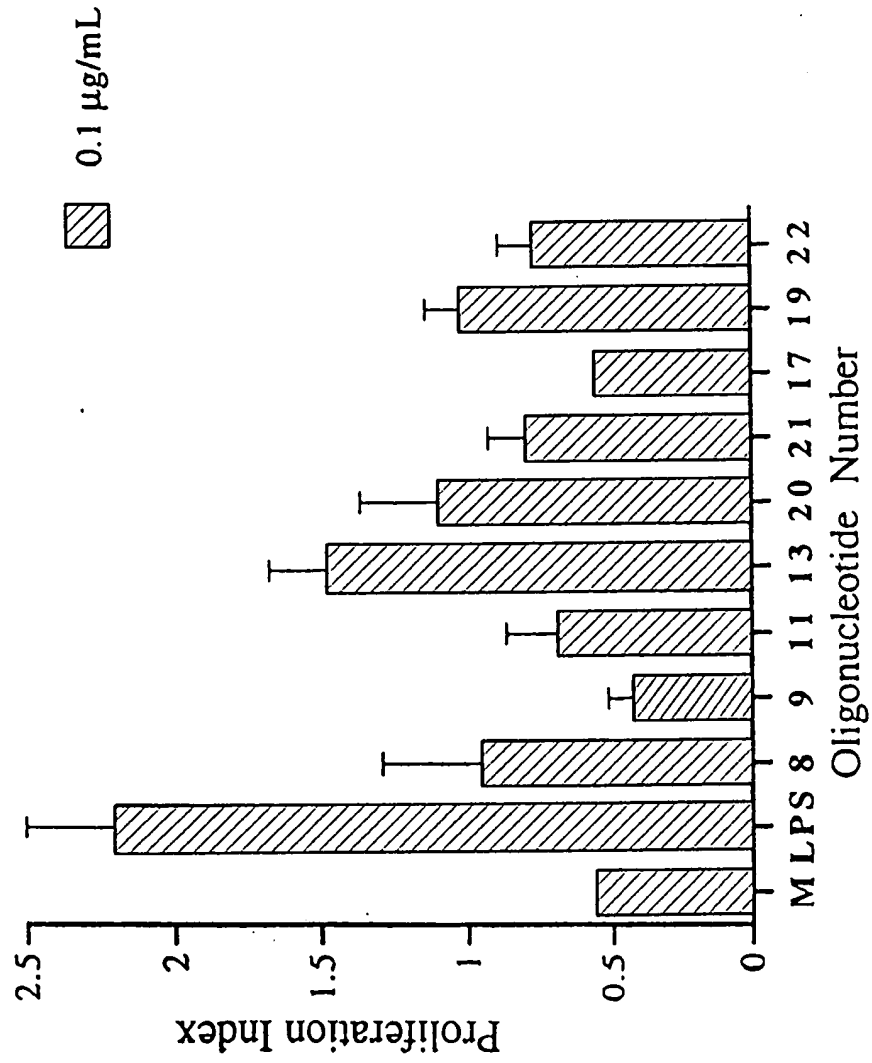


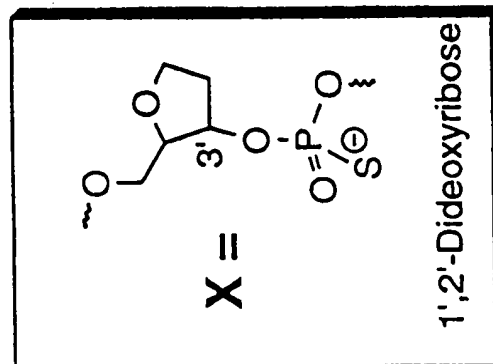
FIG. 1B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No. *Sequence & Modification*

| | | |
|-----------------------|-----------|------------------------------------|
| <u>SEQ ID NO.: 8</u> | <u>8</u> | 5'-CCTACTAGCGTTCTCATC-3' (133-1) |
| <u>SEQ ID NO.: 9</u> | <u>9</u> | 5'-CCTACTAGCXTTCTCATC-3' (139-2) |
| <u>SEQ ID NO.: 10</u> | <u>10</u> | 5'-CCTACTAXCGTTCTCATC-3' (133-2) |
| <u>SEQ ID NO.: 11</u> | <u>11</u> | 5'-CCTACTXGCGTTCTCATC-3' (139-3) |
| <u>SEQ ID NO.: 12</u> | <u>12</u> | 5'-CCTACXAGCGTTCTCATC-3' (133-3) |
| <u>SEQ ID NO.: 13</u> | <u>13</u> | 5'-CCTAXTAGCGTTCTCATC-3' (139-4) |
| <u>SEQ ID NO.: 14</u> | <u>14</u> | 5'-CCTXCTAGCGTTCTCATC-3' (133-4) |
| <u>SEQ ID NO.: 15</u> | <u>15</u> | 5'-CCTXCTAGCCTTCTCATC-3' (145-10a) |
| <u>SEQ ID NO.: 16</u> | <u>16</u> | 5'-CCTACTAGCGXTCTCATC-3' (133-5) |
| <u>SEQ ID NO.: 17</u> | <u>17</u> | 5'-CCTACTAGCGTXCTCATC-3' (139-7) |
| <u>SEQ ID NO.: 18</u> | <u>18</u> | 5'-CCTACTAGCGTTXTCATC-3' (133-6) |
| <u>SEQ ID NO.: 19</u> | <u>19</u> | 5'-CCTACTAGCGTTCXCATC-3' (139-8) |
| <u>SEQ ID NO.: 20</u> | <u>20</u> | 5'-CCTXXTAGCGTTCTCATC-3' (133-12) |
| <u>SEQ ID NO.: 21</u> | <u>21</u> | 5'-XXTAGCGTTCTCATC-3' (139-6) |
| <u>SEQ ID NO.: 22</u> | <u>22</u> | 5'-CCTACTAGCGTTCXXATC-3' (139-9) |
| <u>SEQ ID NO.: 23</u> | <u>23</u> | 5'-CCTXCTXGCGTTCTCATC-3' (145-10b) |

FIG. 2A



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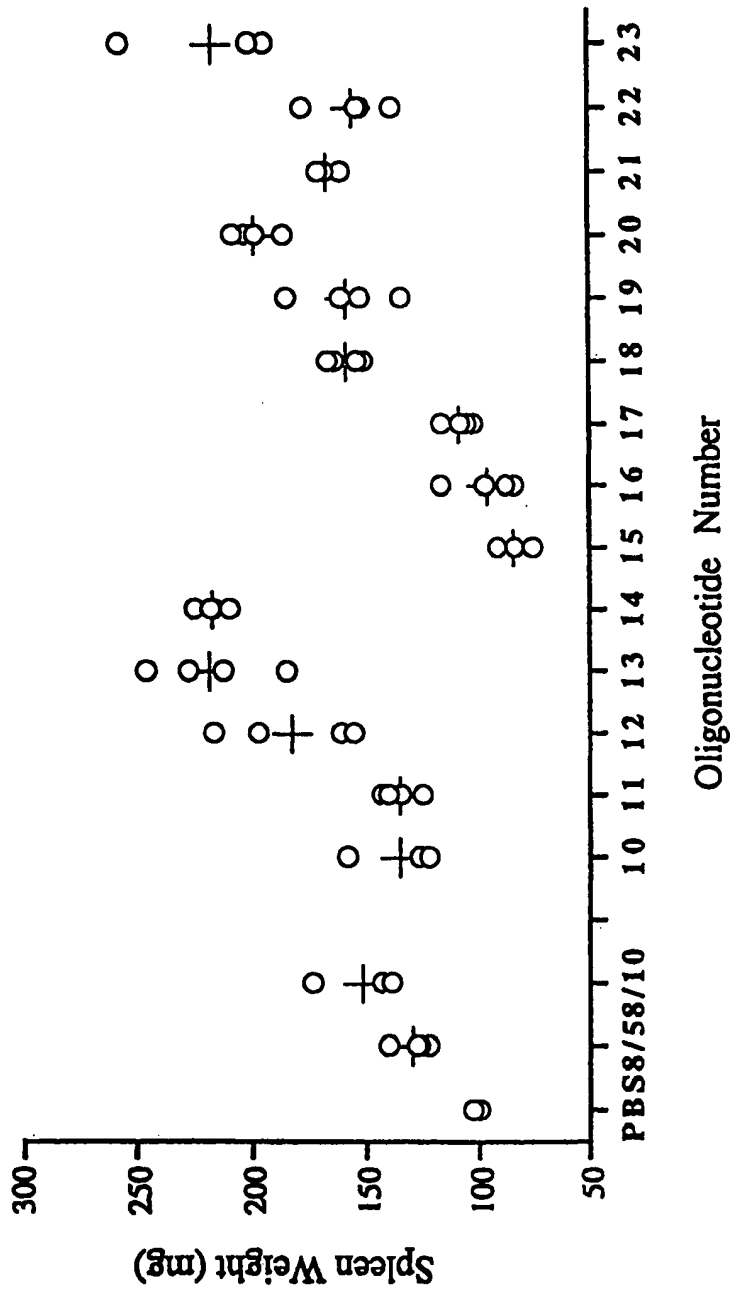


FIG. 2B

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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No. *Sequence & Modification*

| | | |
|------------------------|---|-----------------------------------|
| <u>SEQ ID NO.: 1</u> | 1 | 5'-CTATCTGACGTTCTCTGT-3' (131-1) |
| <u>SEQ ID NO.: 105</u> | 2 | 5'-CTATCTGAXGTTCTCTGT-3' (131-13) |
| <u>SEQ ID NO.: 106</u> | 3 | 5'-CTATCTGXCGTTCTCTGT-3' (131-2) |
| <u>SEQ ID NO.: 107</u> | 4 | 5'-CTATCXGACGTTCTCTGT-3' (131-3) |
| <u>SEQ ID NO.: 108</u> | 5 | 5'-CTAXCTGACGTTCTCTGT-3' (131-4) |
| <u>SEQ ID NO.: 109</u> | 6 | 5'-CTATCTGACGXTCTCTGT-3' (131-5) |
| <u>SEQ ID NO.: 110</u> | 7 | 5'-CTATCTGACGTTXCTCTGT-3' (131-6) |

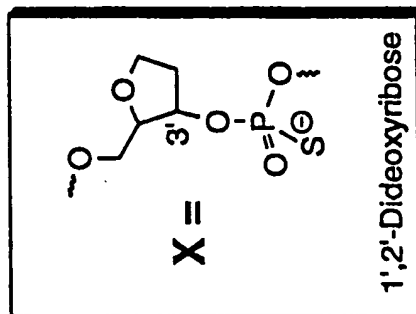


FIG. 3A

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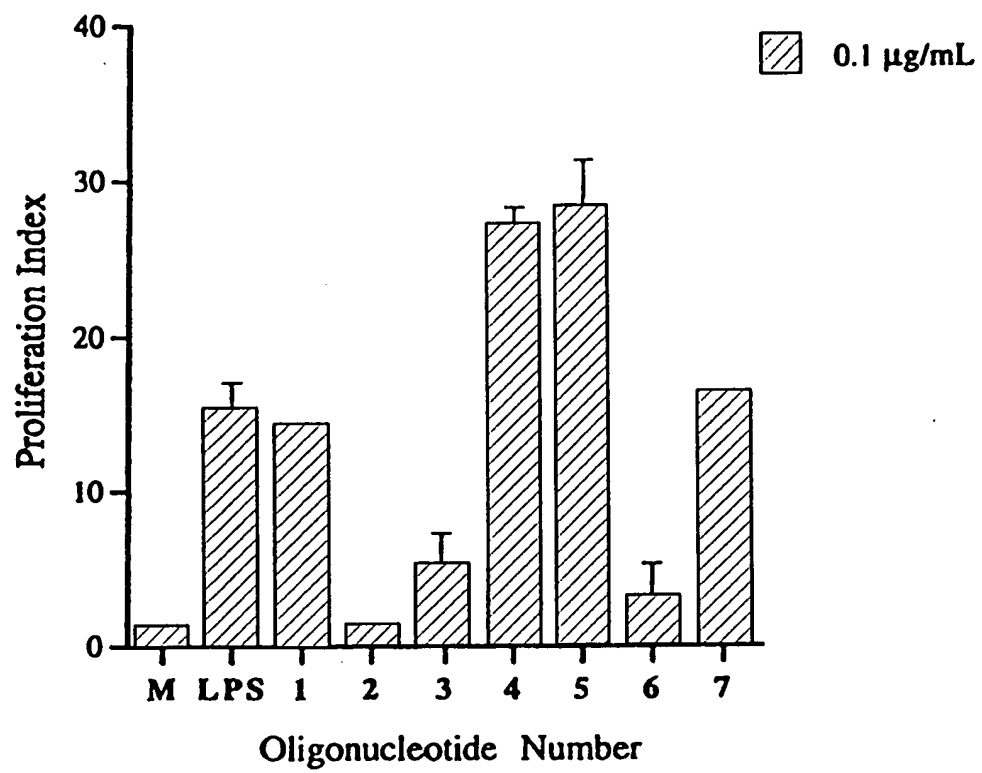


FIG. 3B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Sequence & Modification

| | | |
|------------------------|----------|-----------------------------------|
| <u>SEQ ID NO.: 1</u> | <u>1</u> | 5'-CTATCTGACGTTCTCTGT-3' (131-1) |
| <u>SEQ ID NO.: 105</u> | <u>2</u> | 5'-CTATCTGAXGTTCTCTGT-3' (131-13) |
| <u>SEQ ID NO.: 106</u> | <u>3</u> | 5'-CTATCTGXC GTTCTCTGT-3' (131-2) |
| <u>SEQ ID NO.: 107</u> | <u>4</u> | 5'-CTATCXGACGTTCTCTGT-3' (131-3) |
| <u>SEQ ID NO.: 108</u> | <u>5</u> | 5'-CTAXCTGACGTTCTCTGT-3' (131-4) |
| <u>SEQ ID NO.: 109</u> | <u>6</u> | 5'-CTATCTGACGXTCTCTGT-3' (131-5) |
| <u>SEQ ID NO.: 110</u> | <u>7</u> | 5'-CTATCTGACGTTXTCTGT-3' (131-6) |

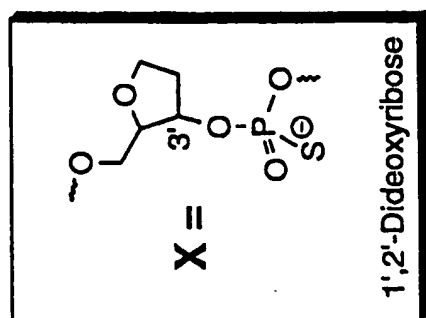


FIG. 4A

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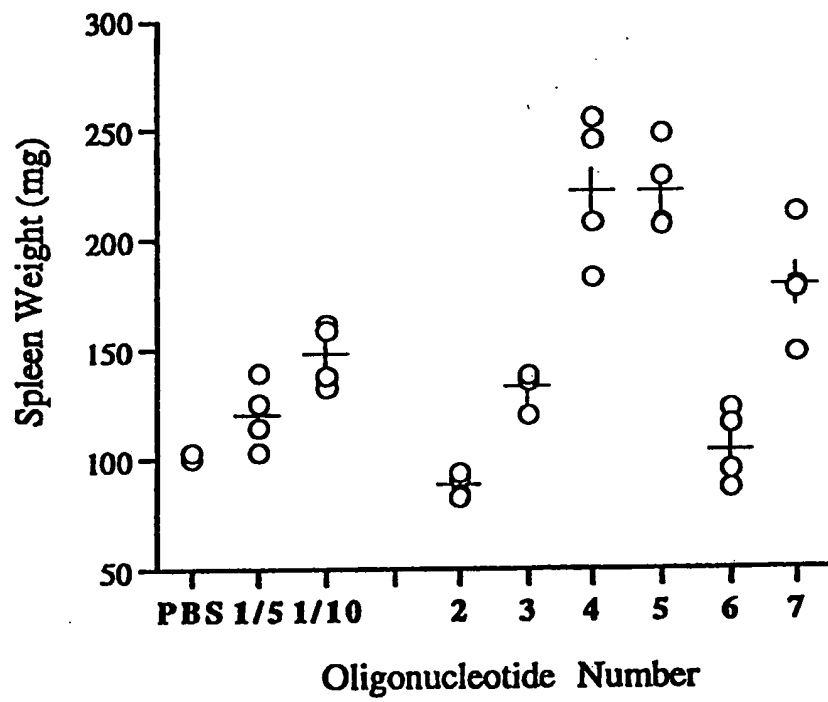


FIG. 4B

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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No. Sequence & Modification

| | | |
|-----------------------|-------|--------------------------|
| <u>SEQ ID NO.: 8</u> | 133-1 | 5'-CCTACTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 24</u> | 141-2 | 5'-CCTACTAGCXTTCTCATC-3' |
| <u>SEQ ID NO.: 25</u> | 141-3 | 5'-CCTACTXGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 26</u> | 141-4 | 5'-CCTAXTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 27</u> | 141-5 | 5'-CCTXXTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 28</u> | 141-6 | 5'-XXTAGTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 29</u> | 141-7 | 5'-CCTACTAGCGTXCTCATC-3' |
| <u>SEQ ID NO.: 30</u> | 141-8 | 5'-CCTACTAGCGTTCXCATC-3' |
| <u>SEQ ID NO.: 31</u> | 141-9 | 5'-CCTACTAGCGTTCXXATC-3' |
| <u>SEQ ID NO.: 1</u> | 131-1 | 5'-CTATCTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 32</u> | 137-2 | 5'-CTATCTGXCGTTCTCTGT-3' |
| <u>SEQ ID NO.: 33</u> | 137-3 | 5'-CTATCXGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 34</u> | 137-4 | 5'-CTAXCTGACGTTCTCTGT-3' |

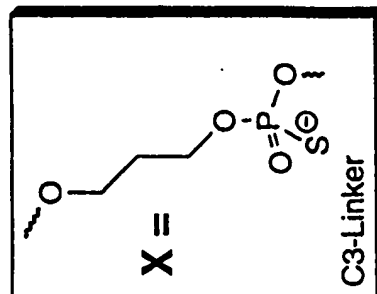


FIG. 5A

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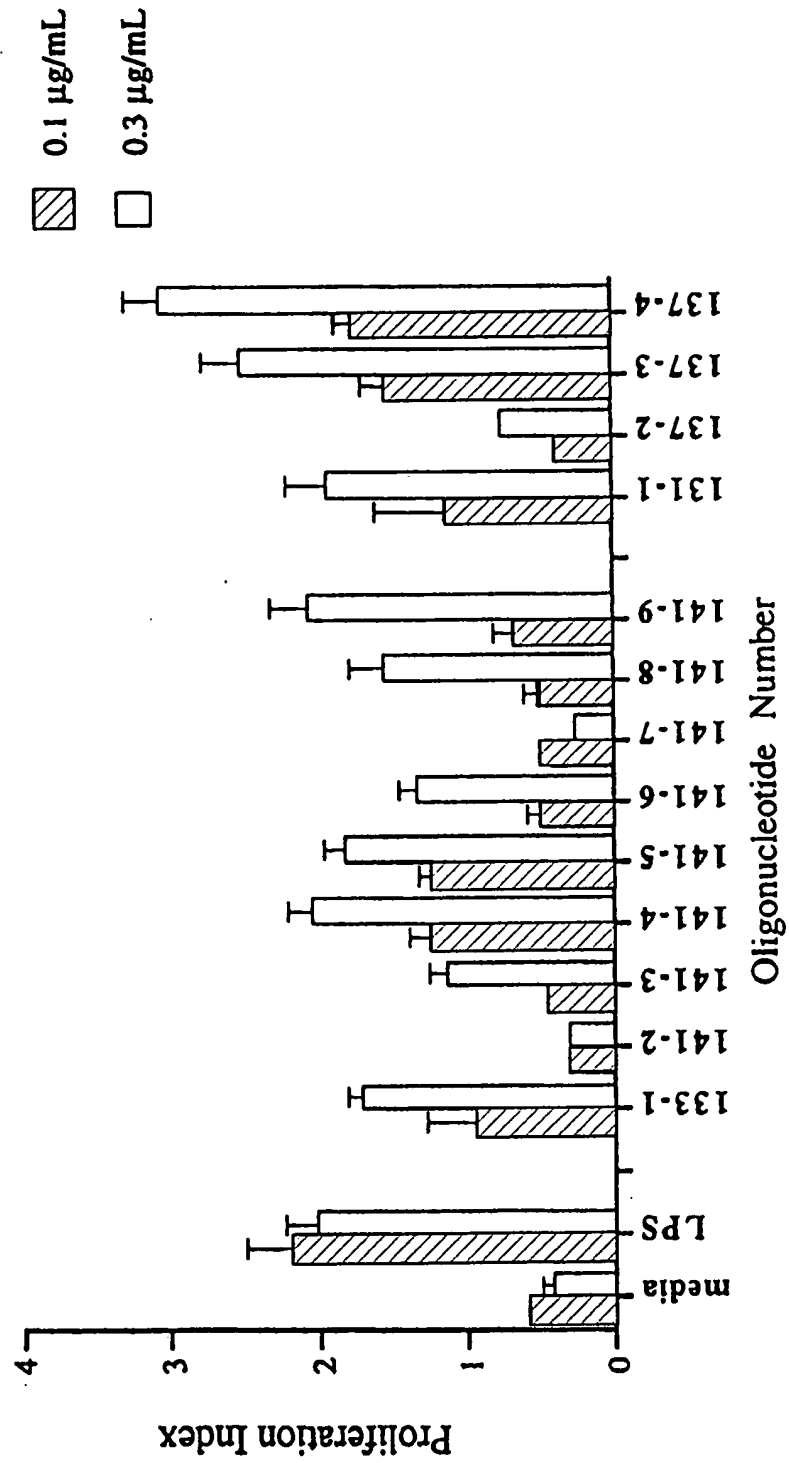


FIG. 5B

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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Seq ID No. *Sequence & Modification*

| | | |
|-----------------------|-------|--------------------------|
| <u>SEQ ID NO.: 8</u> | 133-1 | 5'-CCTACTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 24</u> | 141-2 | 5'-CCTACTAGCXTTCTCATC-3' |
| <u>SEQ ID NO.: 25</u> | 141-3 | 5'-CCTACTXGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 26</u> | 141-4 | 5'-CCTAXTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 27</u> | 141-5 | 5'-CCTXXTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 28</u> | 141-6 | 5'-XXTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 29</u> | 141-7 | 5'-CCTACTAGCGTXCTCATC-3' |
| <u>SEQ ID NO.: 30</u> | 141-8 | 5'-CCTACTAGCGTTCXCATC-3' |
| <u>SEQ ID NO.: 31</u> | 141-9 | 5'-CCTACTAGCGTTCXXATC-3' |
| <u>SEQ ID NO.: 1</u> | 131-1 | 5'-CTATCTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 32</u> | 137-2 | 5'-CTATCTGXCGTTCTCTGT-3' |
| <u>SEQ ID NO.: 33</u> | 137-3 | 5'-CTATCXGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 34</u> | 137-4 | 5'-CTAXCTGACGTTCTCTGT-3' |

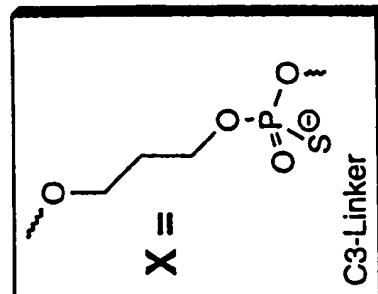


FIG. 6A

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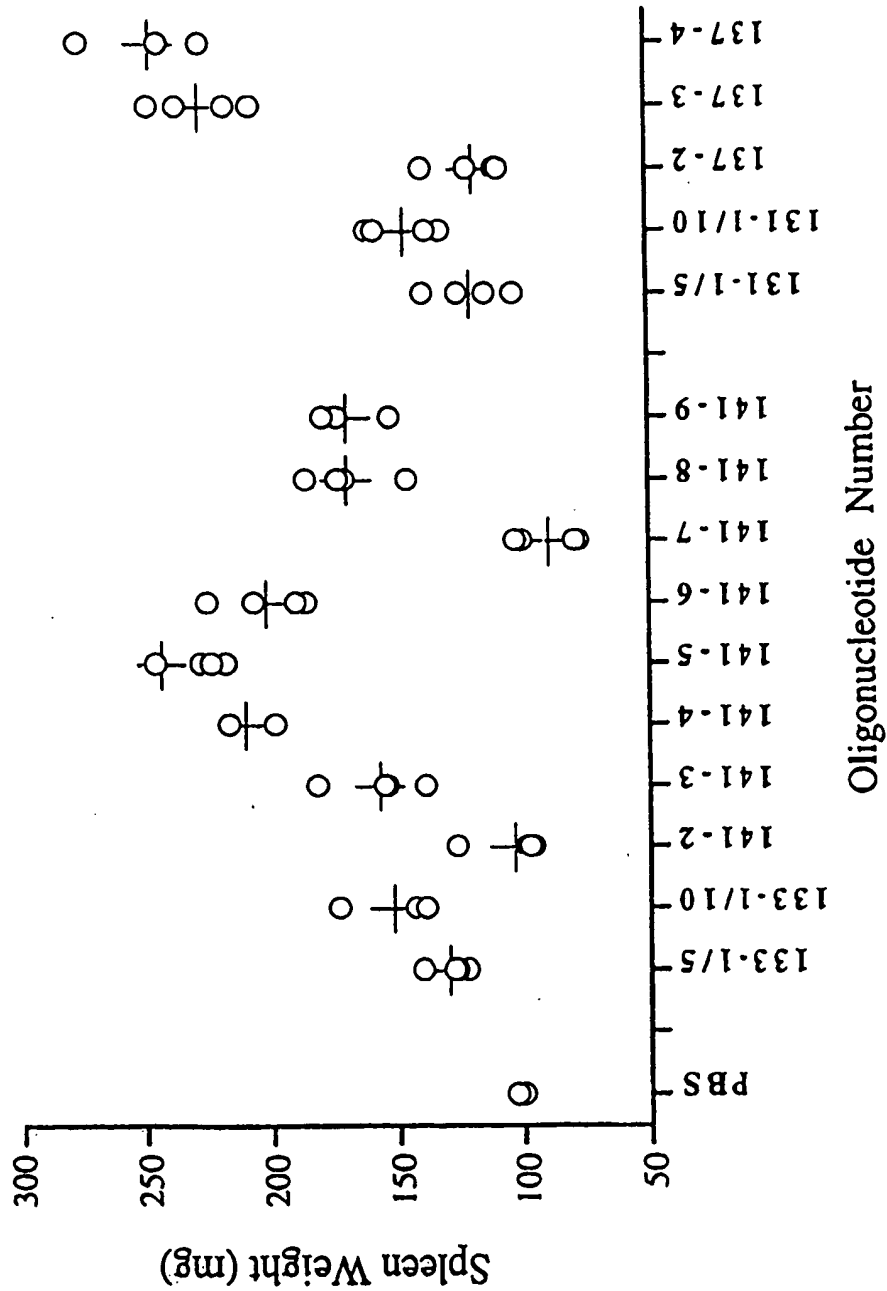


FIG. 6B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

| <i>Oligo No.</i> | <i>Sequence & Modification</i> |
|-----------------------|--------------------------------------|
| <u>SEQ ID NO.: 1</u> | 1 5'-CTATCTGACGTTCTCTGT-3' (131-1) |
| <u>SEQ ID NO.: 35</u> | 2 5'-CTAXCTGACGTTCTCTGT-3' (153-1) |
| <u>SEQ ID NO.: 36</u> | 3 5'-CTATCTGACGTTXCXCTGT-3' (153-2) |
| <u>SEQ ID NO.: 37</u> | 4 5'-CTAYCTGACGTTCTCTGT-3' (153-3) |
| <u>SEQ ID NO.: 38</u> | 5 5'-CTATCTGACGTTTCYCTGT-3' (153-4) |
| <u>SEQ ID NO.: 8</u> | 6 5'-CCTACTAGCGTTCTCATC-3' (133-1) |
| <u>SEQ ID NO.: 39</u> | 7 5'-CCTXCTAGCGTTCTCATC-3' (155-1) |
| <u>SEQ ID NO.: 40</u> | 8 5'-CCTACTAGCGTTXCXCATC-3' (155-2) |
| <u>SEQ ID NO.: 41</u> | 9 5'-CCTYCTAGCGTTCTCATC-3' (155-3) |
| <u>SEQ ID NO.: 42</u> | 10 5'-CCTACTAGCGTTTCYCATC-3' (155-4) |

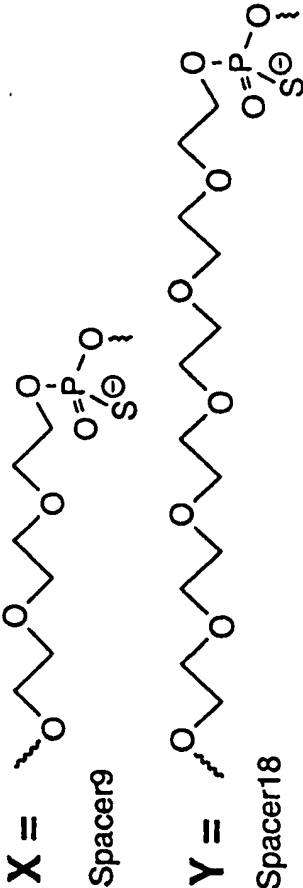


FIG. 7A

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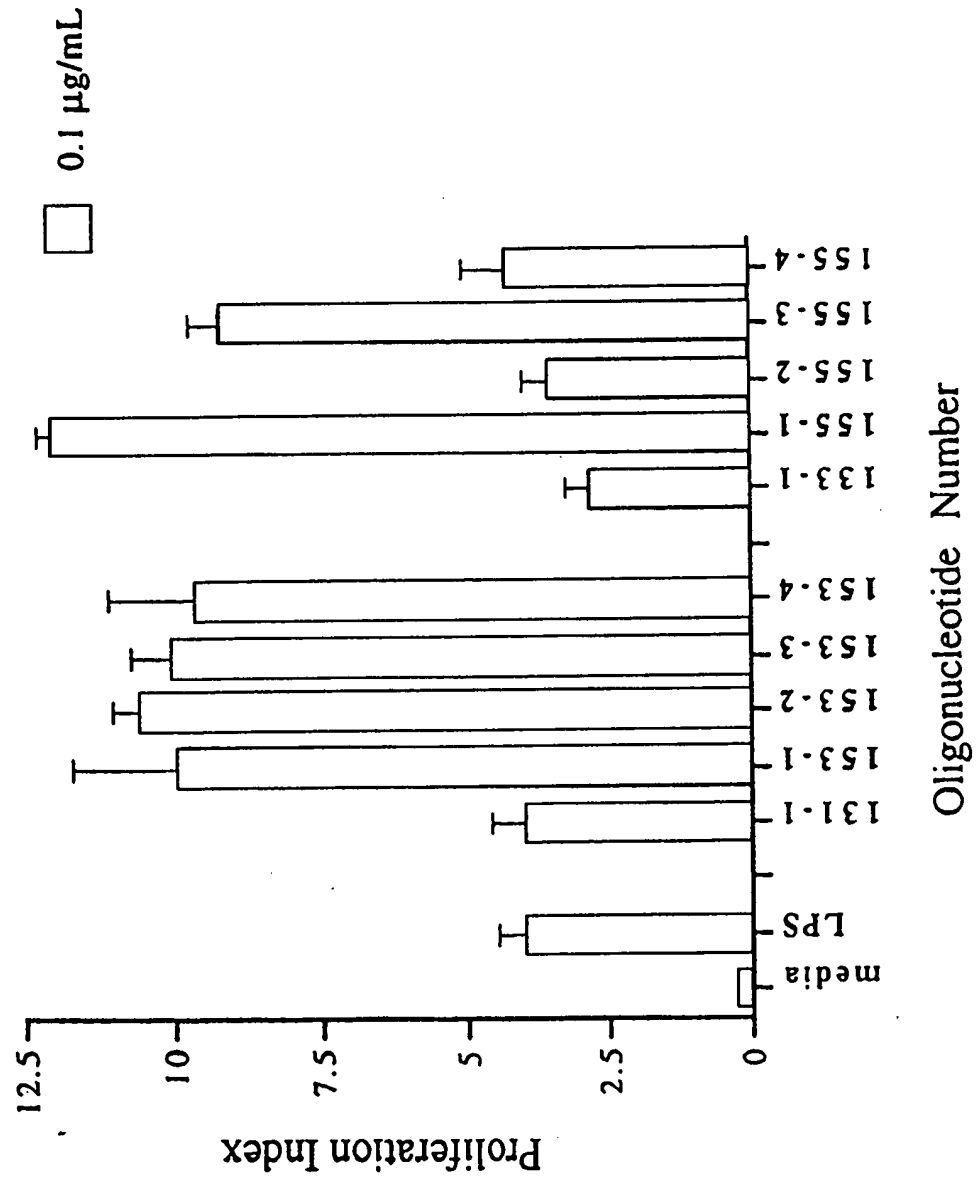


FIG. 7B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

| <i>Oligo No.</i> | <i>Sequence & Modification</i> |
|-----------------------|------------------------------------|
| <u>SEQ ID NO.: 1</u> | 5'-CTATCTGACGTTCTCTGT-3' (131-1) |
| <u>SEQ ID NO.: 35</u> | 5'-CTAXCTGACGTTCTCTGT-3' (153-1) |
| <u>SEQ ID NO.: 36</u> | 5'-CTATCTGACGTTXCXCTGT-3' (153-2) |
| <u>SEQ ID NO.: 37</u> | 5'-CTAYCTGACGTTCTCTGT-3' (153-3) |
| <u>SEQ ID NO.: 38</u> | 5'-CTATCTGACGTTTCYCTGT-3' (153-4) |
| <u>SEQ ID NO.: 8</u> | 5'-CCTACTAGCGTTCTCATC-3' (133-1) |
| <u>SEQ ID NO.: 39</u> | 5'-CCTXCTAGCGTTCTCATC-3' (155-1) |
| <u>SEQ ID NO.: 40</u> | 5'-CCTACTAGCGTTXCXCATC-3' (155-2) |
| <u>SEQ ID NO.: 41</u> | 5'-CCTYCTAGCGTTCTCATC-3' (155-3) |
| <u>SEQ ID NO.: 42</u> | 5'-CCTACTAGCGTTTCYCATC-3' (155-4) |

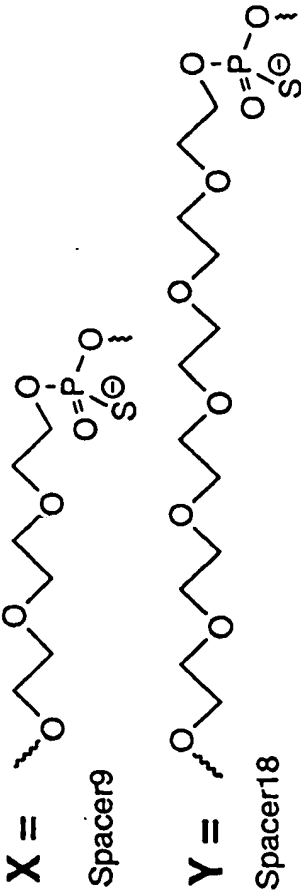


FIG. 8A

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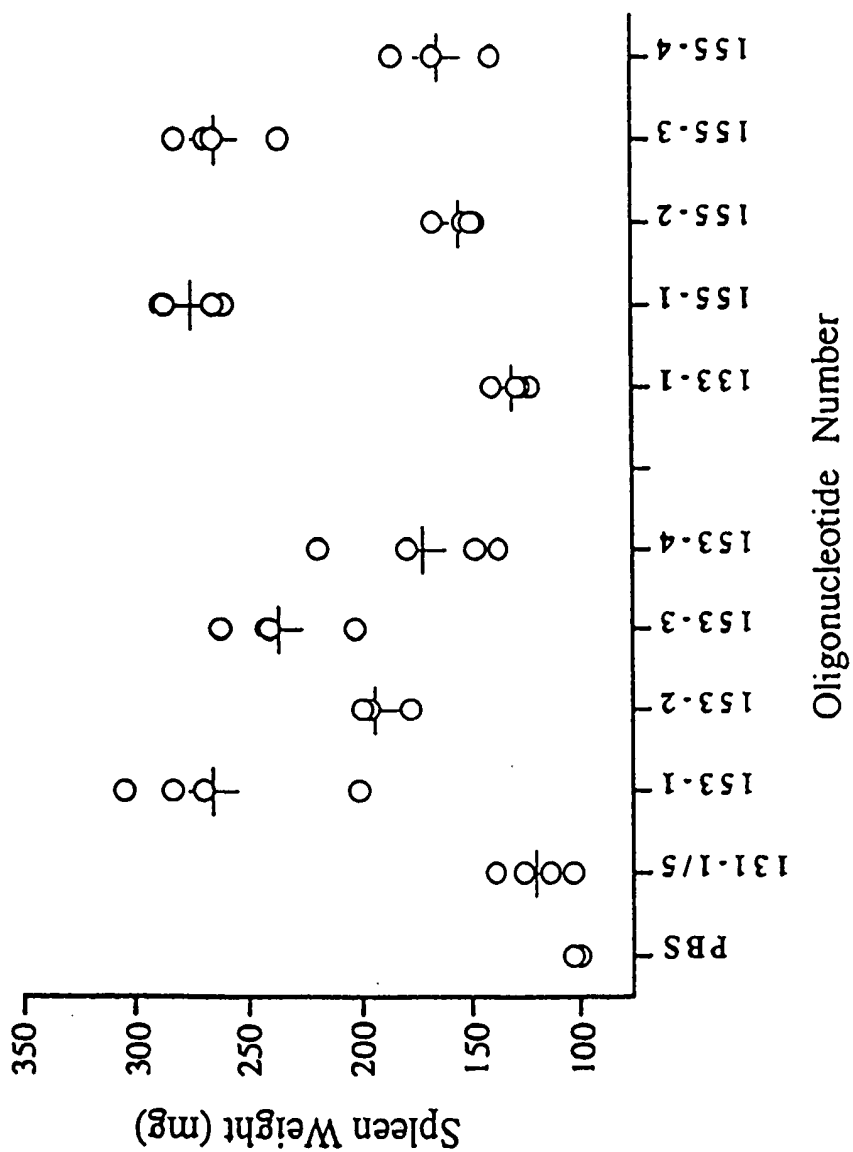


FIG. 8B

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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

| <i>Seq ID No.</i> | <i>Sequence & Modification</i> |
|-----------------------|------------------------------------|
| <u>SEQ ID NO.: 1</u> | 5'-CTATCTGACGTTCTCTGT-3' (131-1) |
| <u>SEQ ID NO.: 43</u> | 5'-CTATCTGXC GTTCTCTGT-3' (157-1) |
| <u>SEQ ID NO.: 44</u> | 5'-CTATCTXAC GTTCTCTGT-3' (157-2) |
| <u>SEQ ID NO.: 45</u> | 5'-CTAXCTGAC GTTCTCTGT-3' (157-3) |
| <u>SEQ ID NO.: 46</u> | 5'-CTATCTGACGTXCTCTGT-3' (157-4) |
| <u>SEQ ID NO.: 47</u> | 5'-CTATCTGACGTTCXCTGT-3' (157-5) |

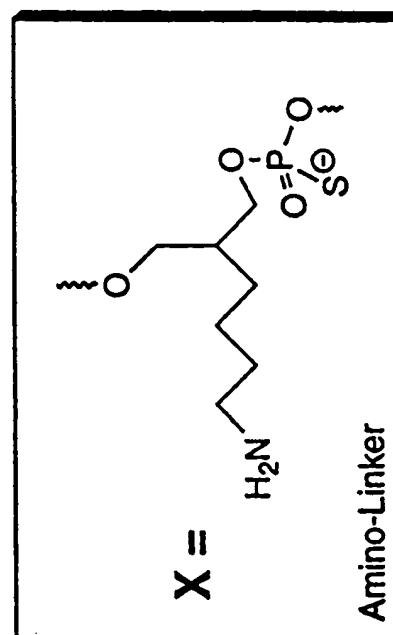


FIG. 9A

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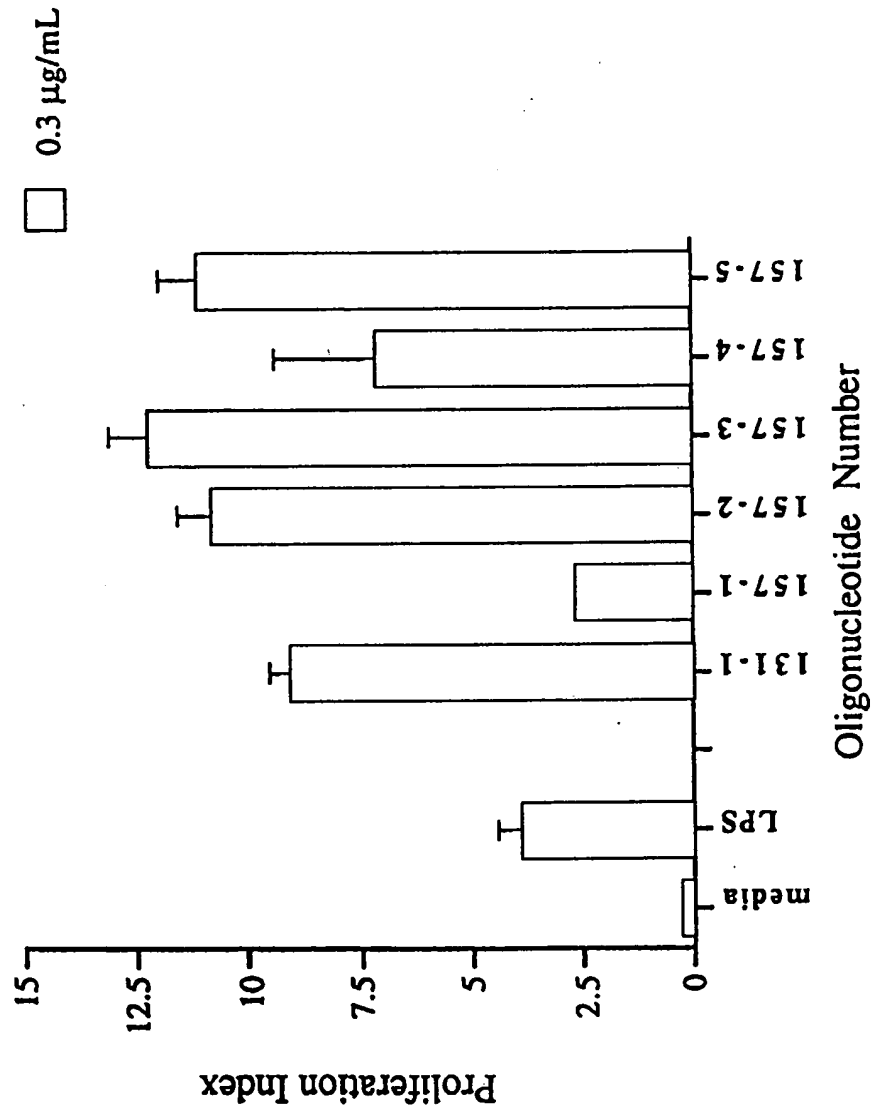


FIG. 9B

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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

| <i>Oligo No.</i> | <i>Sequence & Modification</i> |
|-----------------------|-------------------------------------|
| <u>SEQ ID NO.: 1</u> | 1 5'-CTATCTGACGTTCTCTGT-3' (131-1) |
| <u>SEQ ID NO.: 43</u> | 2 5'-CTATCTGXC GTTCTCTGT-3' (157-1) |
| <u>SEQ ID NO.: 44</u> | 3 5'-CTATCTXAC GTTCTCTGT-3' (157-2) |
| <u>SEQ ID NO.: 45</u> | 4 5'-CTAXCTGAC GTTCTCTGT-3' (157-3) |
| <u>SEQ ID NO.: 46</u> | 5 5'-CTATCTGAC GTXCTCTGT-3' (157-4) |
| <u>SEQ ID NO.: 47</u> | 6 5'-CTATCTGAC GTTCXCTGT-3' (157-5) |

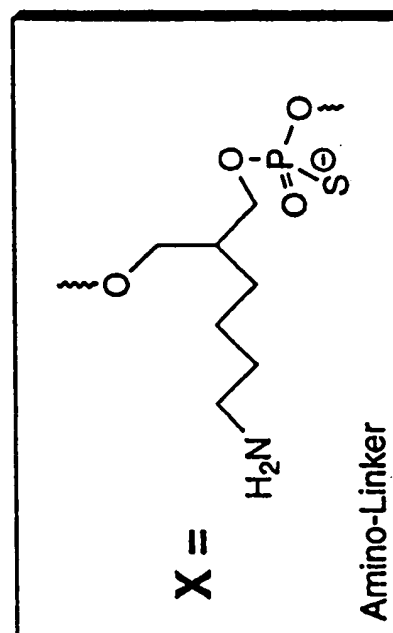


FIG. 10A

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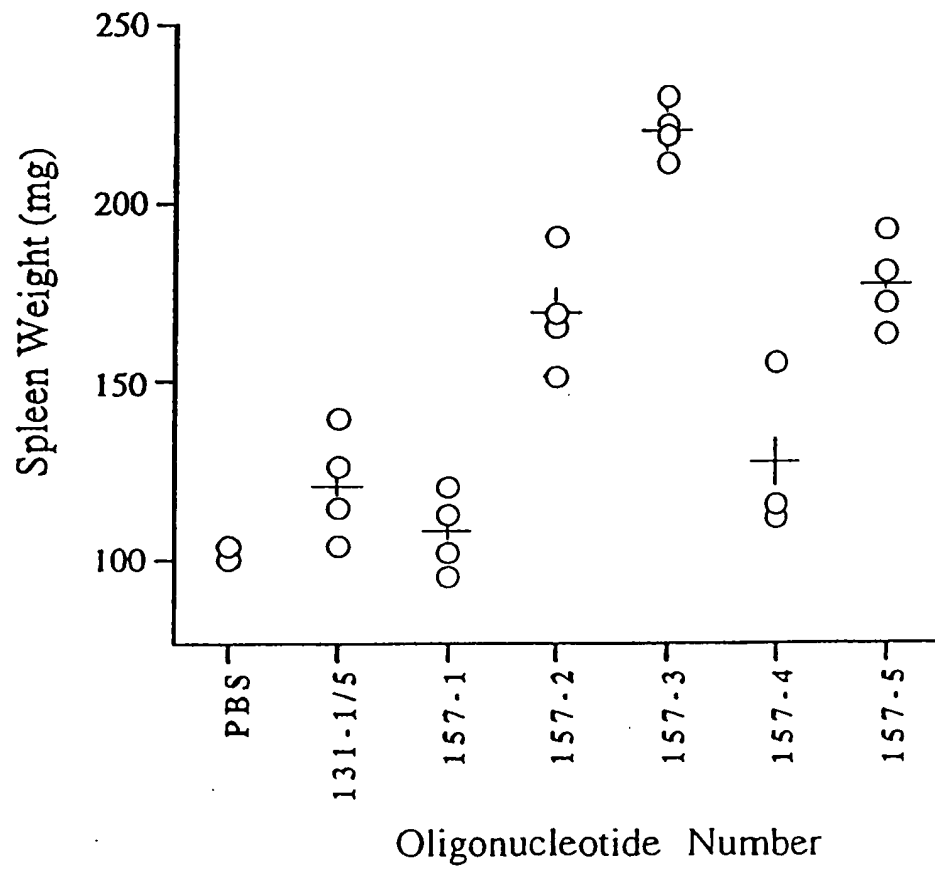


FIG. 10B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No. Sequence & Modification

| | |
|-----------------------------|--------------------------|
| <u>SEQ ID NO.: 1</u> 131-1 | 5'-CTATCTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 48</u> 159-1 | 5'-CTATCTGACXTTCTCTGT-3' |
| <u>SEQ ID NO.: 49</u> 159-2 | 5'-CTATCTGAXGTTCTCTGT-3' |
| <u>SEQ ID NO.: 50</u> 159-3 | 5'-CTATXTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 51</u> 159-4 | 5'-CTATCTGACGTTCTXTGT-3' |
| <u>SEQ ID NO.: 8</u> 133-1 | 5'-CCTACTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 52</u> 161-1 | 5'-CCTACTAGCXTTCTCATC-3' |
| <u>SEQ ID NO.: 53</u> 161-2 | 5'-CCTACTAGXGTTCTCATC-3' |
| <u>SEQ ID NO.: 54</u> 161-3 | 5'-CCTACTAXCGTTCTCATC-3' |
| <u>SEQ ID NO.: 55</u> 161-4 | 5'-CCTAXTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 56</u> 161-5 | 5'-CCTACTAGCGTTCTXATC-3' |

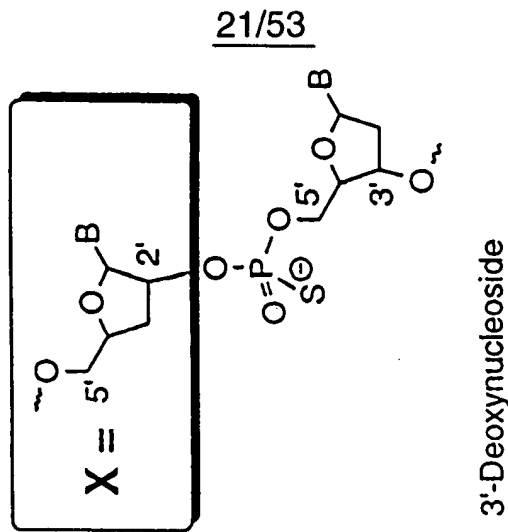


FIG. 11A

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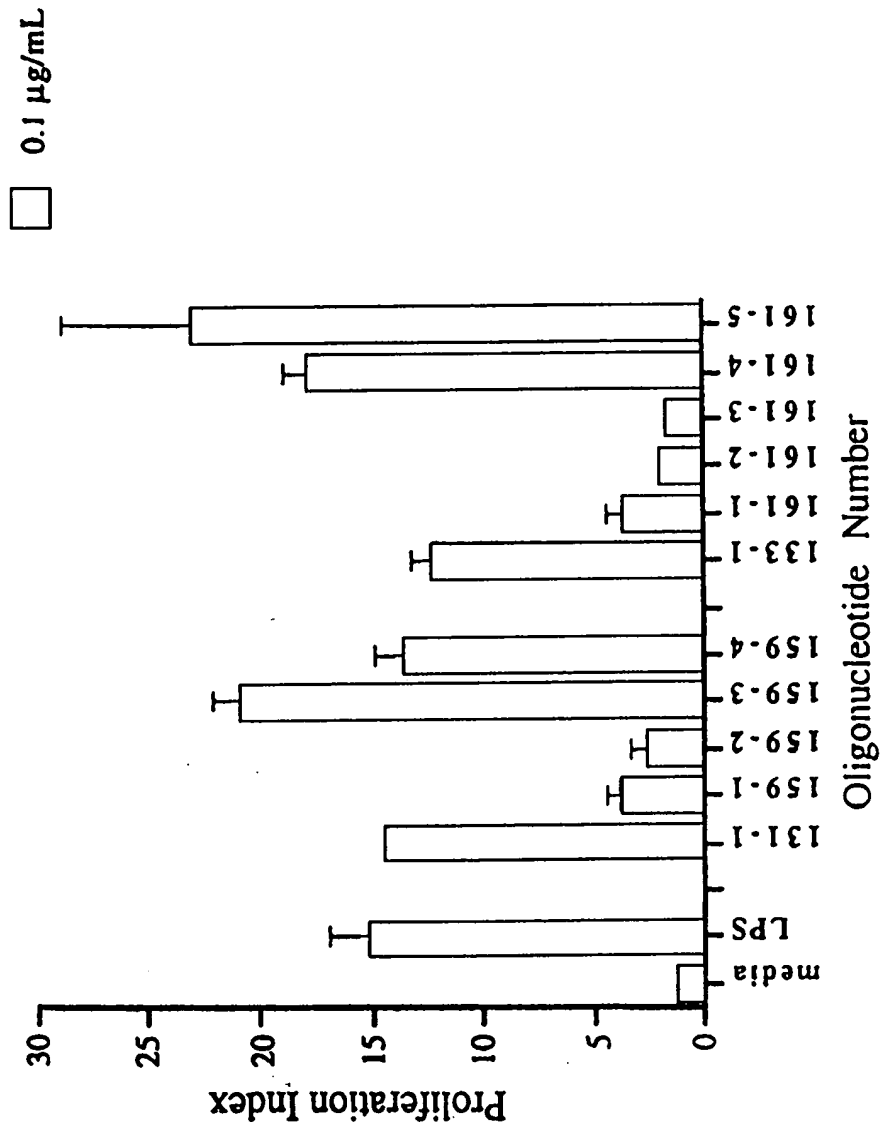


FIG. 11B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

| <i>Oligo No.</i> | <i>Sequence & Modification</i> |
|-----------------------------|------------------------------------|
| <u>SEQ ID NO.: 1</u> 131-1 | 5'-CTATCTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 48</u> 159-1 | 5'-CTATCTGACXTTCTCTGT-3' |
| <u>SEQ ID NO.: 49</u> 159-2 | 5'-CTATCTGAXGTTCTCTGT-3' |
| <u>SEQ ID NO.: 50</u> 159-3 | 5'-CTATXTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 51</u> 159-4 | 5'-CTATCTGACGTTCTXTGT-3' |
| <u>SEQ ID NO.: 8</u> 133-1 | 5'-CCTACTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 52</u> 161-1 | 5'-CCTACTAGCXTTCTCATC-3' |
| <u>SEQ ID NO.: 53</u> 161-2 | 5'-CCTACTAGXGTTCTCATC-3' |
| <u>SEQ ID NO.: 54</u> 161-3 | 5'-CCTACTAXCGTTCTCATC-3' |
| <u>SEQ ID NO.: 55</u> 161-4 | 5'-CCTAXTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 56</u> 161-5 | 5'-CCTACTAGCGTTCTXATC-3' |

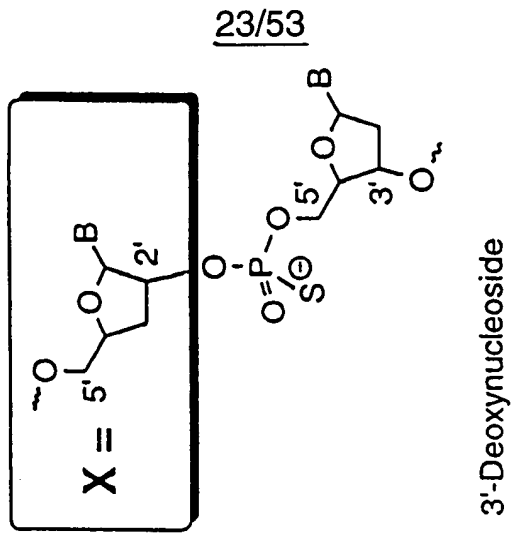


FIG. 12A

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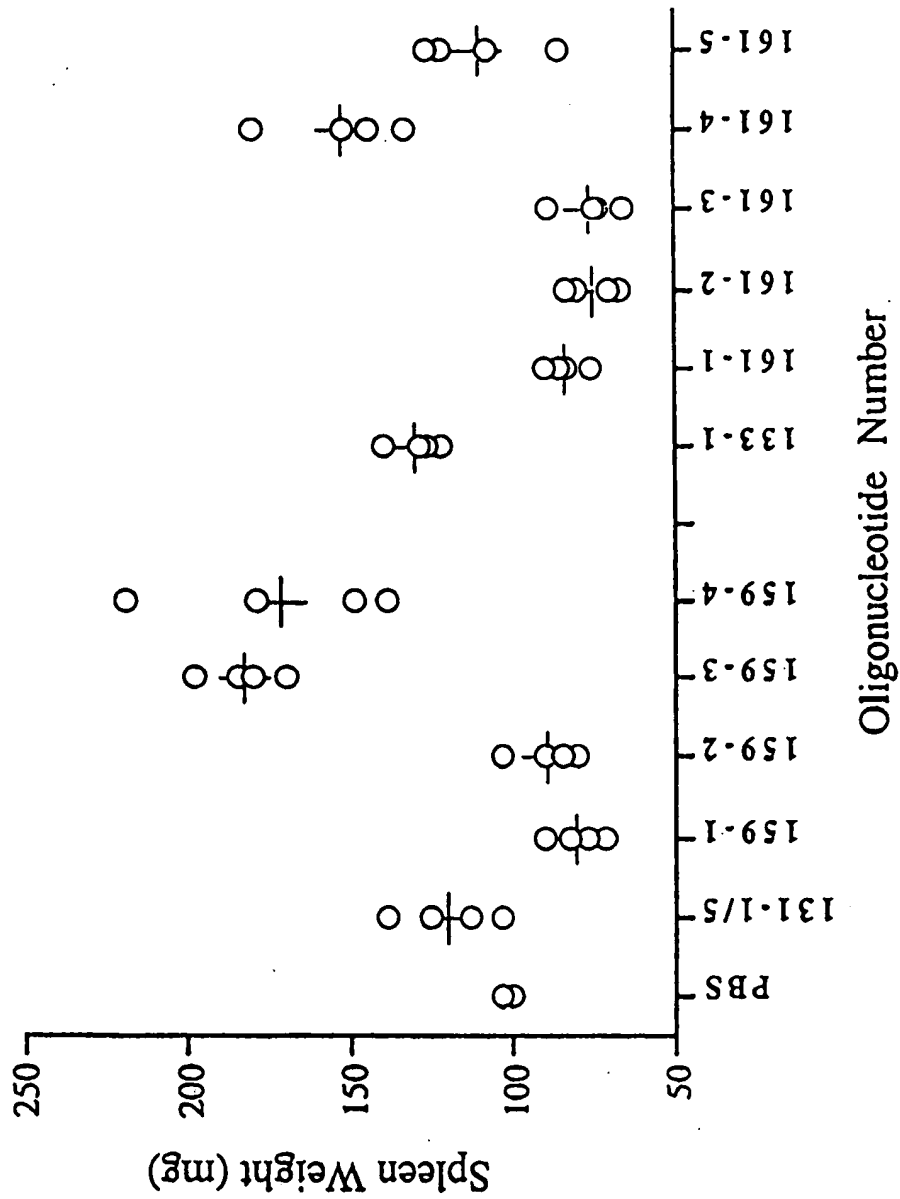


FIG. 12B


X =  **Methyl-phosphonate**

FIG. 13A

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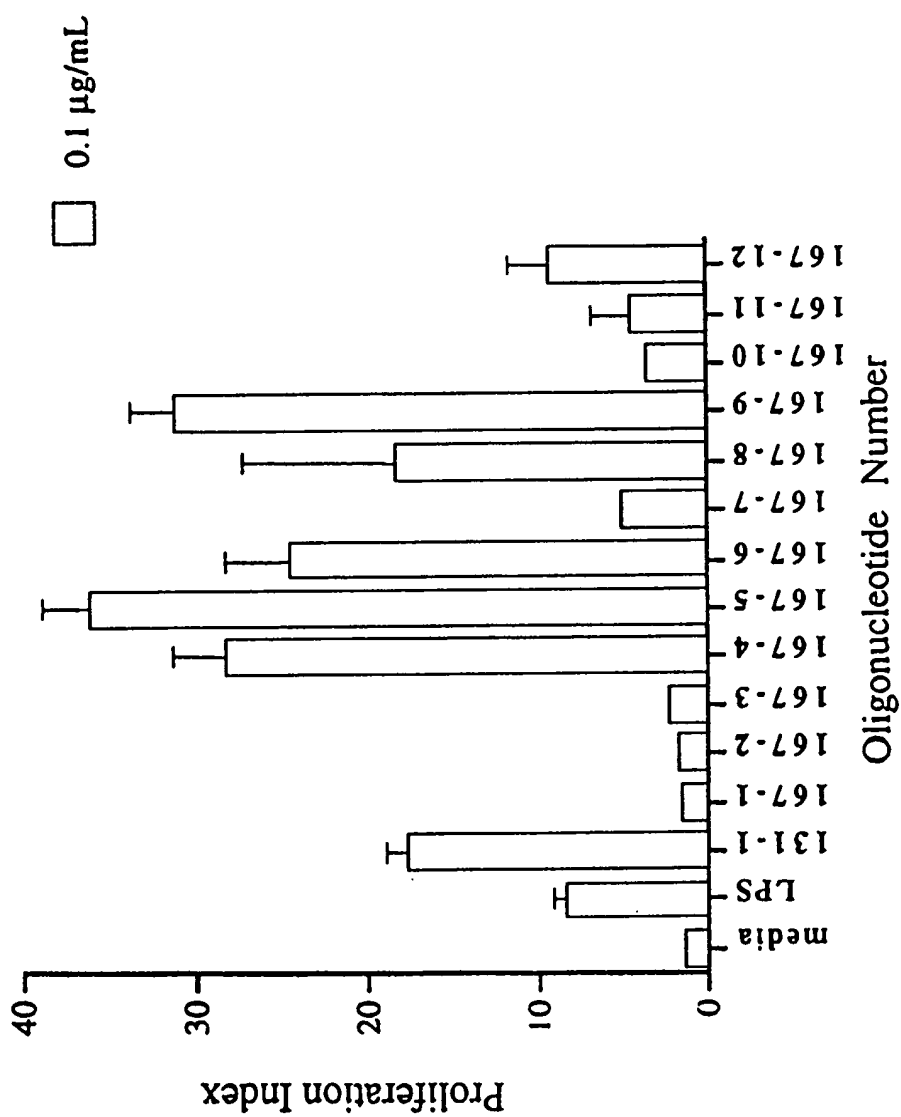
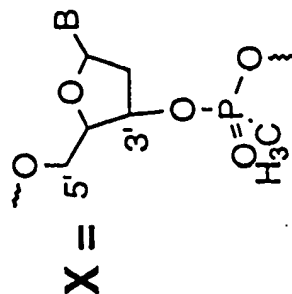


FIG. 13B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

| <i>Oligo No.</i> | <i>Sequence & Modification</i> |
|------------------------------|------------------------------------|
| <u>SEQ ID NO.: 1</u> 131-1 | 5'-CTATCTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 57</u> 167-1 | 5'-CTATCTGXCGTTCTCTGT-3' |
| <u>SEQ ID NO.: 58</u> 167-2 | 5'-CTATCTXACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 59</u> 167-3 | 5'-CTATCXGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 60</u> 167-4 | 5'-CTATXTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 61</u> 167-5 | 5'-CTAXCTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 62</u> 167-6 | 5'-CTXCTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 63</u> 167-7 | 5'-CTATCTGACGXTCTCTGT-3' |
| <u>SEQ ID NO.: 64</u> 167-8 | 5'-CTATCTGACGTXCTCTGT-3' |
| <u>SEQ ID NO.: 65</u> 167-9 | 5'-CTATCTGACGTTXTCTGT-3' |
| <u>SEQ ID NO.: 66</u> 167-10 | 5'-CTATCTGACGTTXCCTGT-3' |
| <u>SEQ ID NO.: 67</u> 167-11 | 5'-CTATCTGACGTTCTXTGT-3' |
| <u>SEQ ID NO.: 68</u> 167-12 | 5'-CTATCTGACGTTCTXXGT-3' |



Methyl-phosphonate

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FIG. 14A

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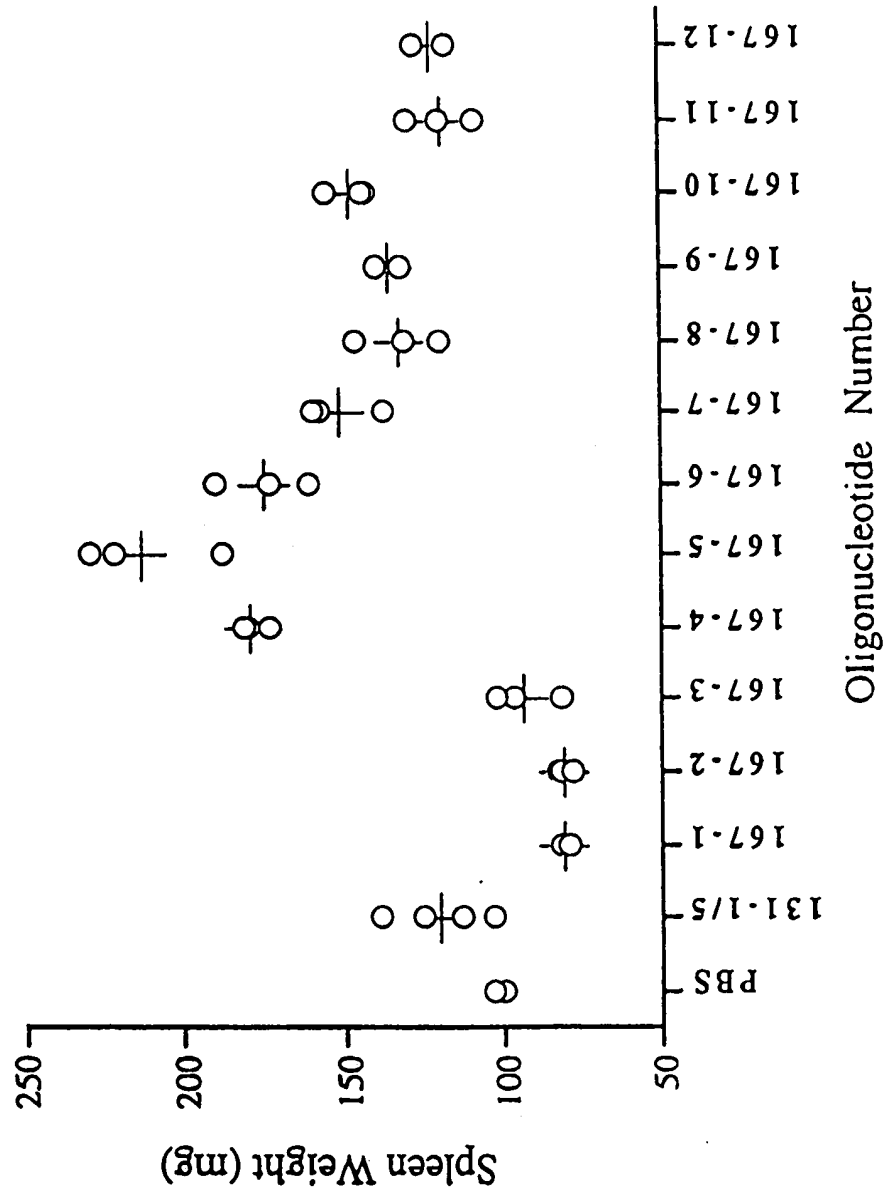
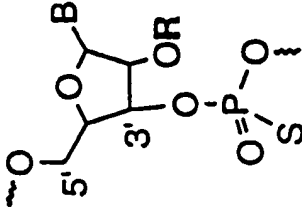


FIG. 14B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No. Sequence & Modification

| | | |
|-----------------------|--------------|----------------------------|
| <u>SEQ ID NO.: 69</u> | <u>113-1</u> | 5'-TCCATGACGTTCCCTGATGC-3' |
| <u>SEQ ID NO.: 70</u> | <u>23-1</u> | 5'-TCCATGXCGTTCCCTGATGC-3' |
| <u>SEQ ID NO.: 71</u> | <u>23-3</u> | 5'-TCCAXGACGTTCCCTGATGC-3' |
| <u>SEQ ID NO.: 72</u> | <u>29-2</u> | 5'-TYATGACGGTCCTGATGC-3' |



R_x = 2'-O-Methylribonucleoside
R_y = 2'-O-Methoxyethoxyribonucleoside

FIG. 15A

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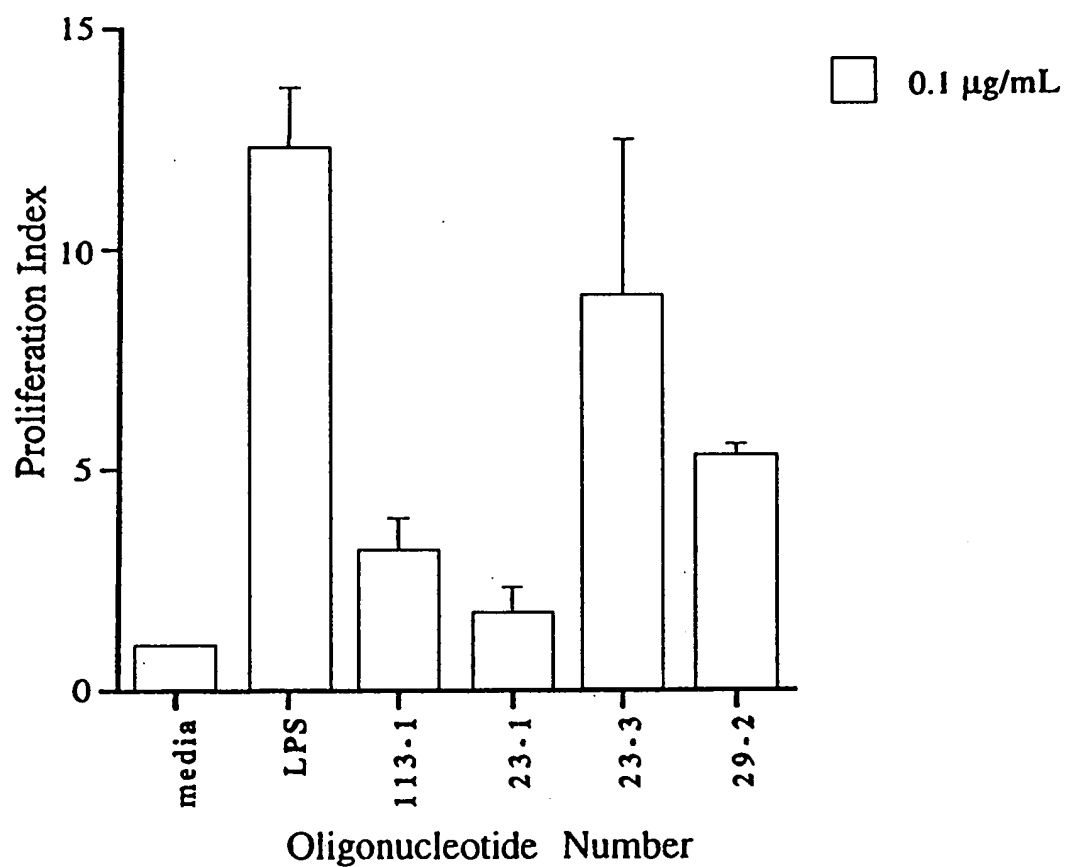
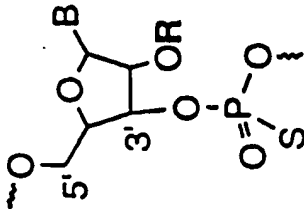


FIG. 15B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No. Sequence & Modification

| | | |
|-----------------------|--------------|----------------------------|
| <u>SEQ ID NO.: 69</u> | <u>113-1</u> | 5'-TCCATGACGTTCCCTGATGC-3' |
| <u>SEQ ID NO.: 70</u> | <u>23-1</u> | 5'-TCCATGXCGTTCCCTGATGC-3' |
| <u>SEQ ID NO.: 71</u> | <u>23-3</u> | 5'-TCCAXGACGTTCCCTGATGC-3' |
| <u>SEQ ID NO.: 72</u> | <u>29-2</u> | 5'-TYATGACGGTCCCTGATGC-3' |



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R_x = 2'-O-Methylribonucleoside
R_y = 2'-O-Methoxyethoxyribonucleoside

FIG. 16A

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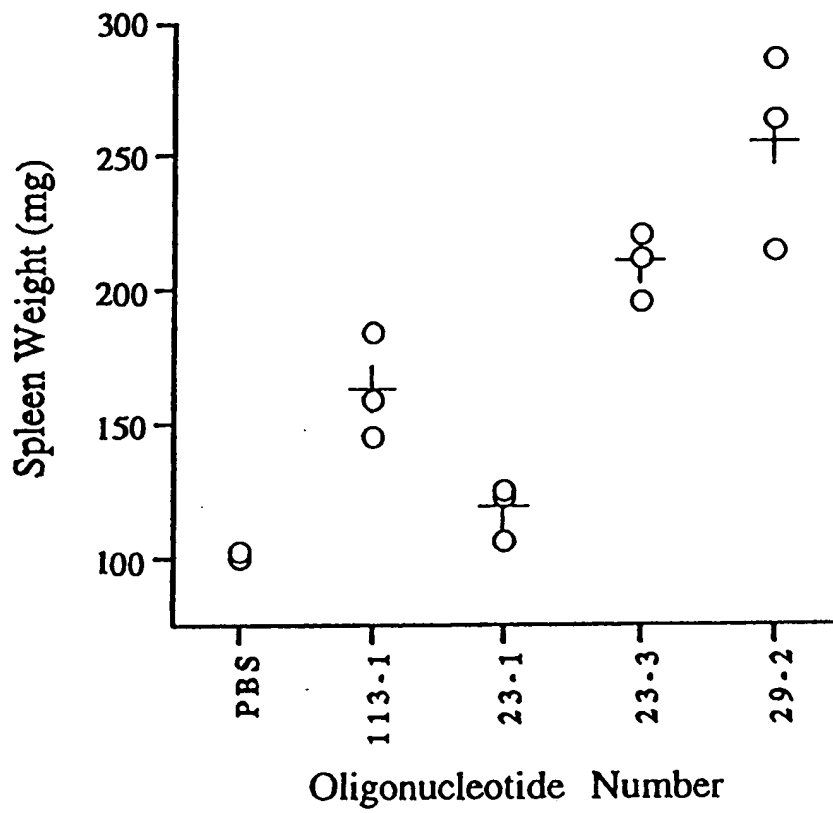


FIG. 16B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

Oligo No. *Sequence & Modification*

| | | |
|-----------------------|----------|--|
| <u>SEQ ID NO.: 73</u> | <u>1</u> | 5'-G A G A A C G C T C G A C C T T-3' |
| <u>SEQ ID NO.: 74</u> | <u>2</u> | 5'-G A G A A C G C T C G A C C T T-3'——5'-G A G A C G C T C G A C C T T-3' |
| <u>SEQ ID NO.: 75</u> | <u>3</u> | 3'-T T C C A G C T C G C A G A G-5'——5'-G A G A C G C T C G A C C T T-3' |
| <u>SEQ ID NO.: 76</u> | <u>4</u> | 5'-G A G A A C G C T C G A C C T T-3'——3'-T T C C A G C T C G C A G A G-5' |
| <u>SEQ ID NO.: 77</u> | <u>5</u> | 5'-T C T C C C A G C G T G C G C C A T-3' |
| <u>SEQ ID NO.: 78</u> | <u>6</u> | 5'-T C C C A G C G T G C G C C A T-3'——5'-T C C C A G C G T G C G C C A T-3' |
| <u>SEQ ID NO.: 79</u> | <u>7</u> | 3'-T A C C G C G T G C G A C C C T-5'——5'-T C C C A G C G T G C G C C A T-3' |
| <u>SEQ ID NO.: 80</u> | <u>8</u> | 5'-T C C C A G C G T G C G C C A T-3'——3'-T A C C G C G T G C G A C C C T-5' |

FIG. 17A

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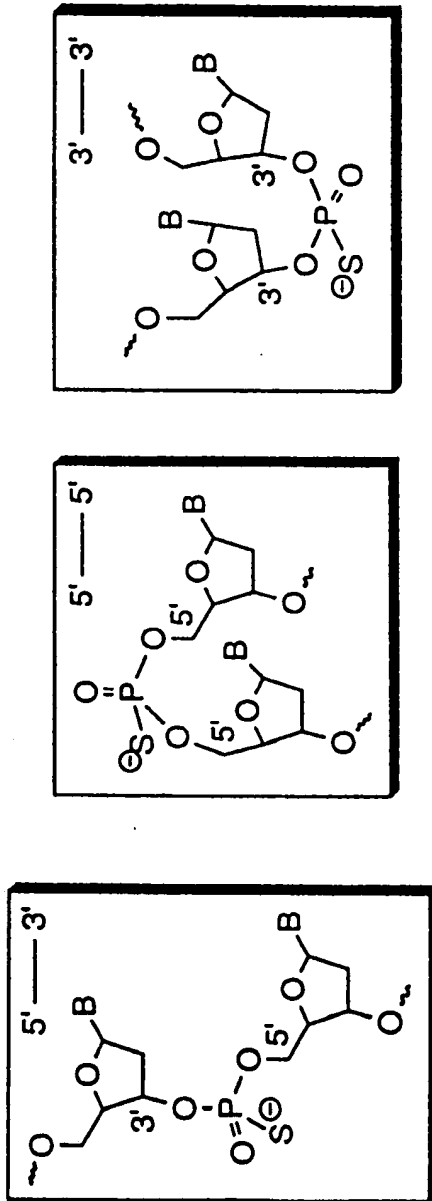


FIG. 17B

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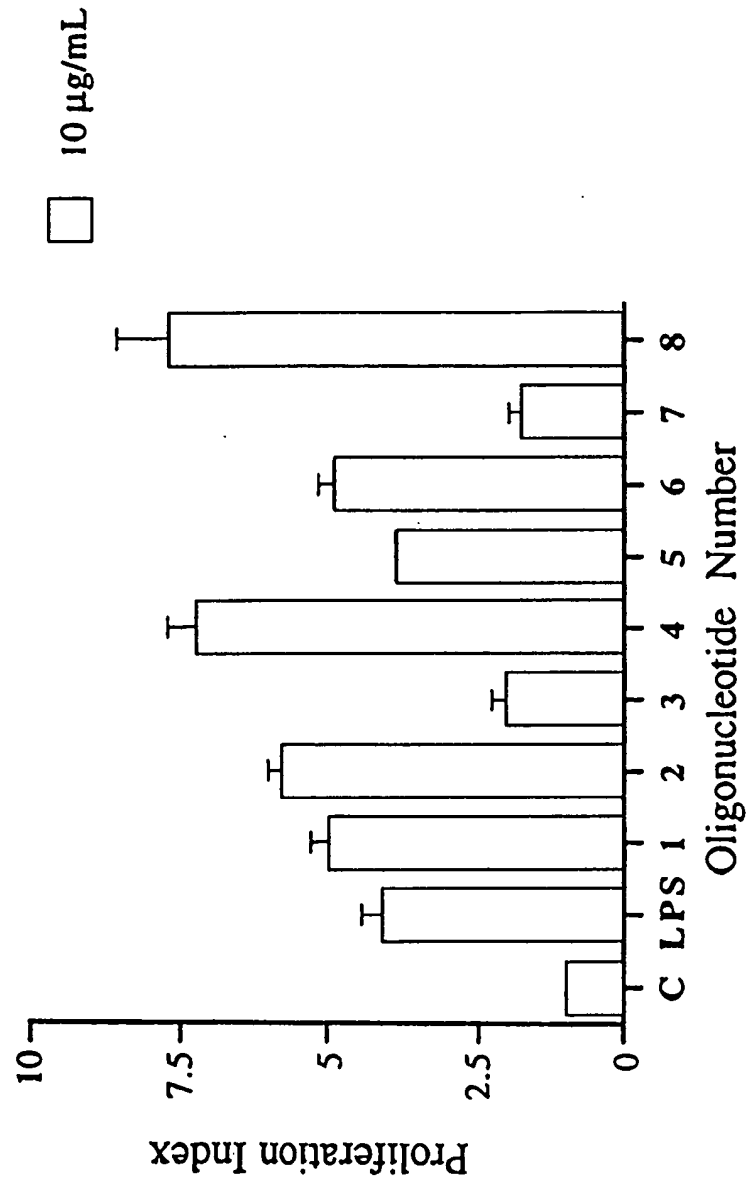
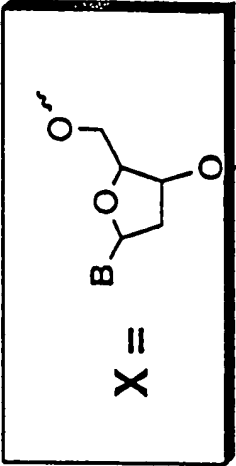


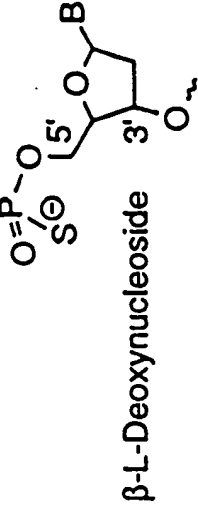
FIG. 17C

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

| Oligo No. | | Sequence & Modification |
|-----------------------|-------|---|
| <u>SEQ ID NO.: 1</u> | 131-1 | 5'-CTATCTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 81</u> | 175-1 | 5'-CTATXGTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 82</u> | 175-2 | 5'-CTATCTGACGTTXCXCTGT-3' |
| <u>SEQ ID NO.: 83</u> | 175-3 | 5'-CTAXXTGACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 84</u> | 175-4 | 5'-CTATCTGACGTTXCXXTGT-3' |
| <u>SEQ ID NO.: 85</u> | 175-5 | 5'-CTATCTGAXXTTCTCTGT-3' |
| <u>SEQ ID NO.: 86</u> | 175-6 | 5'-CTATCTXACGTTCTCTGT-3' |
| <u>SEQ ID NO.: 87</u> | 175-7 | 5'-CTATCTGACGTXCICCTGT-3' |
| <u>SEQ ID NO.: 88</u> | 175-9 | 5'-CTATCTGACGTTCTCTGT-3' (all-β-L-DNA/PS) |

X =





β-L-Deoxynucleoside

FIG. 18A

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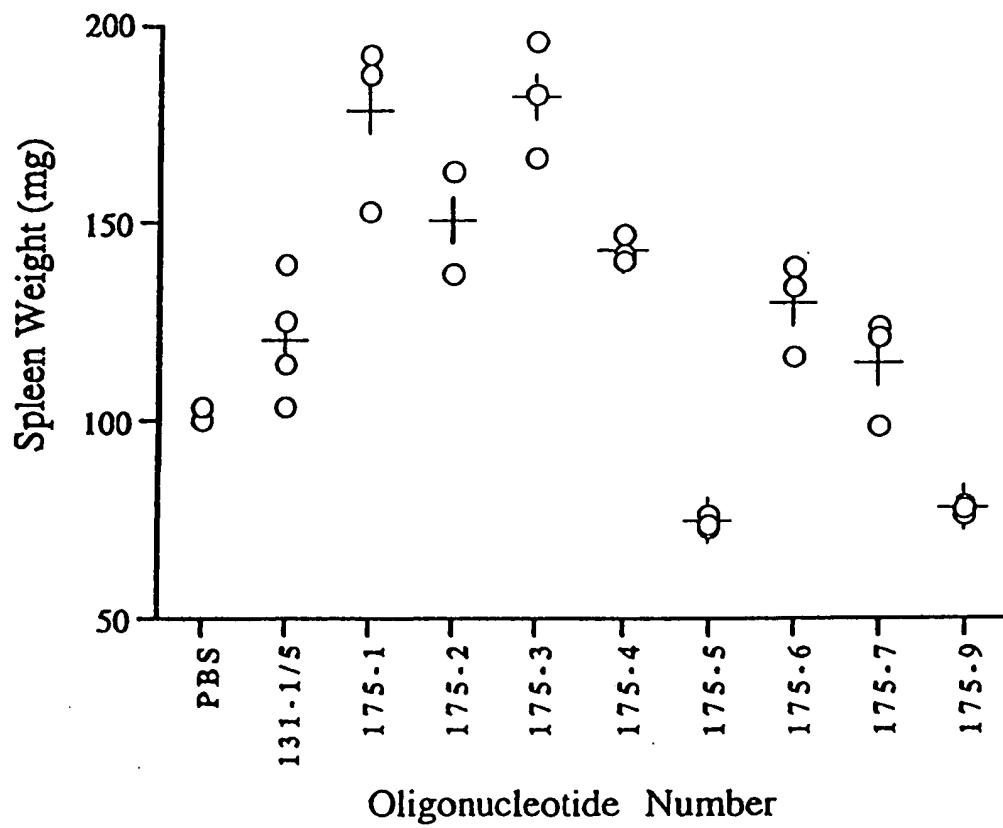


FIG. 18B

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FIG. 19A

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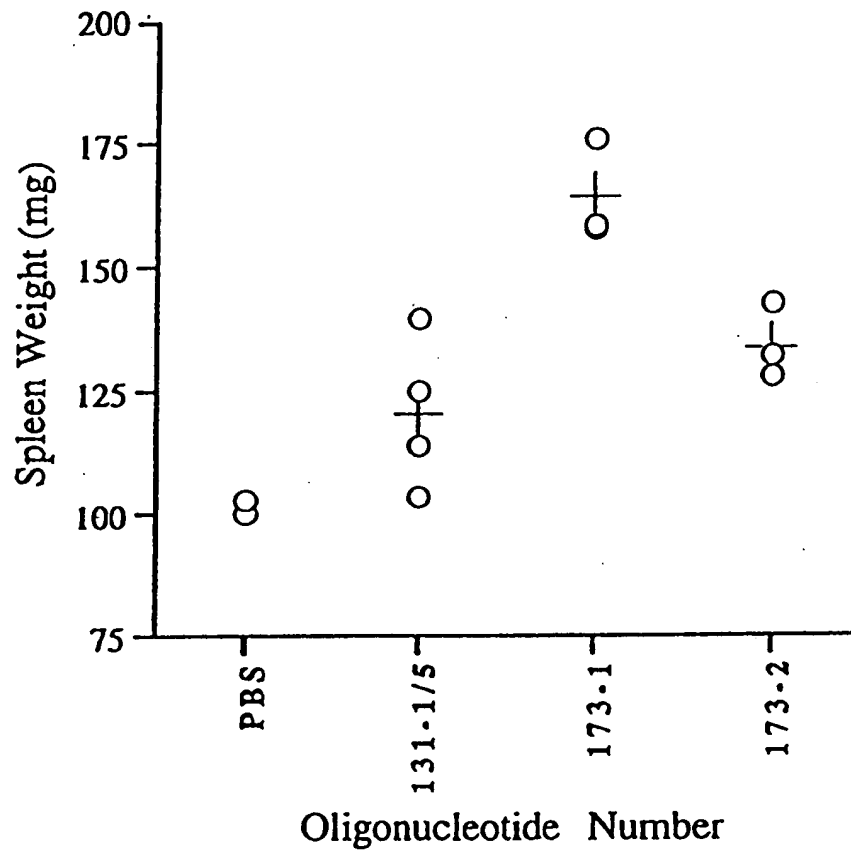


FIG. 19B

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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND MODIFICATION OF LINKAGES

| <i>Oligo No.</i> | <i>Sequence & Modification</i> |
|-----------------------------|------------------------------------|
| <u>SEQ ID NO.: 8</u> 133-1 | 5'-CCTACTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 91</u> 143-1 | 5'-CCTXXTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 92</u> 143-2 | 5'-CCTYTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 93</u> 143-3 | 5'-CCTZZTAGCGTTCTCATC-3' |
| <u>SEQ ID NO.: 94</u> 143-4 | 5'-CCTXXTAGCGTVCTCATC-3' |
| <u>SEQ ID NO.: 95</u> 143-5 | 5'-CCTACTAGGCTTCTCATC-3' |

X = 1',2'-Dideoxyribose
Y = C3-Linker
Z = 3'-OMe
V = 2'-OMe

FIG. 20A

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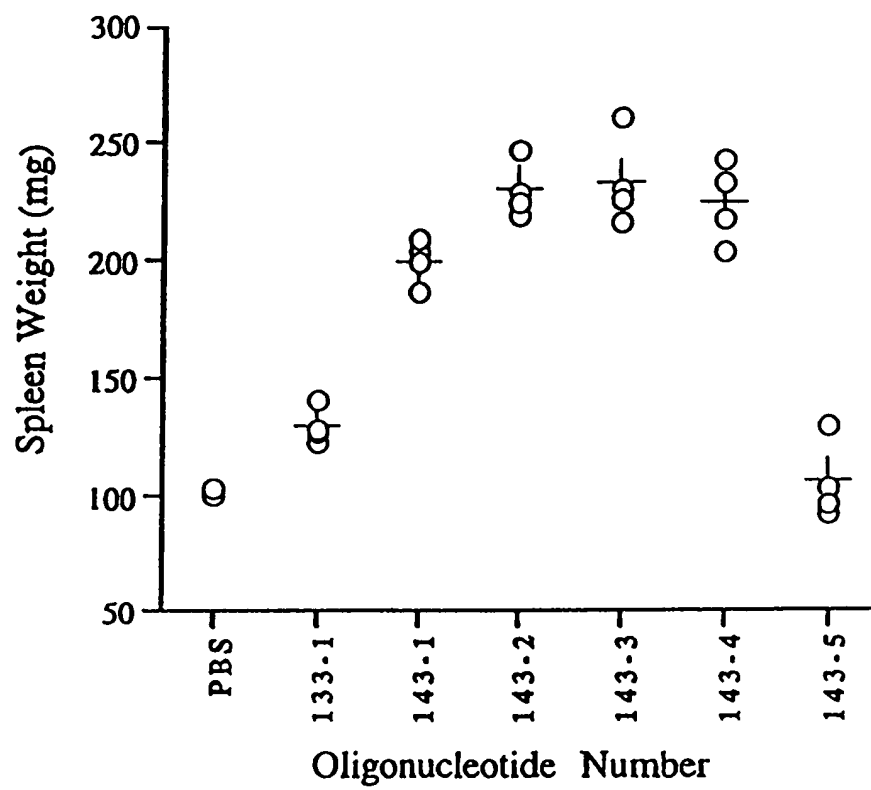


FIG. 20B

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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND
MODIFICATION OF LINKAGES

| <i>Oligo No.</i> | <i>Sequence & Modification</i> |
|------------------|--------------------------------------|
| SEQ ID NO.: 1 | 1 5'-CTATCTGACGTTCTCTGT-3' (131-1) |
| SEQ ID NO.: 96 | 2 5'-CTATCTGACG*TTCTCTGT-3' (E 633L) |
| SEQ ID NO.: 97 | 3 5'-CTATCTGAG*CTTCTCTGT-3' (E 636) |
| SEQ ID NO.: 98 | 4 5'-TCTCCCAGCGTGCGCCAT-3' |
| SEQ ID NO.: 99 | 5 5'-TCTCCCAGCG*TGCG*CCAT-3' (E 603) |
| SEQ ID NO.: 100 | 6 5'-CTATXTGACG*TTCTCTGT-3' (E 639L) |

FIG. 21A

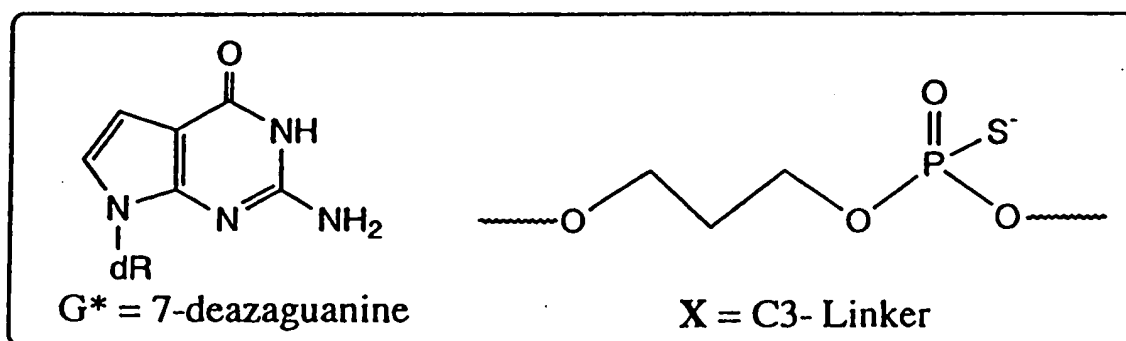


FIG. 21B

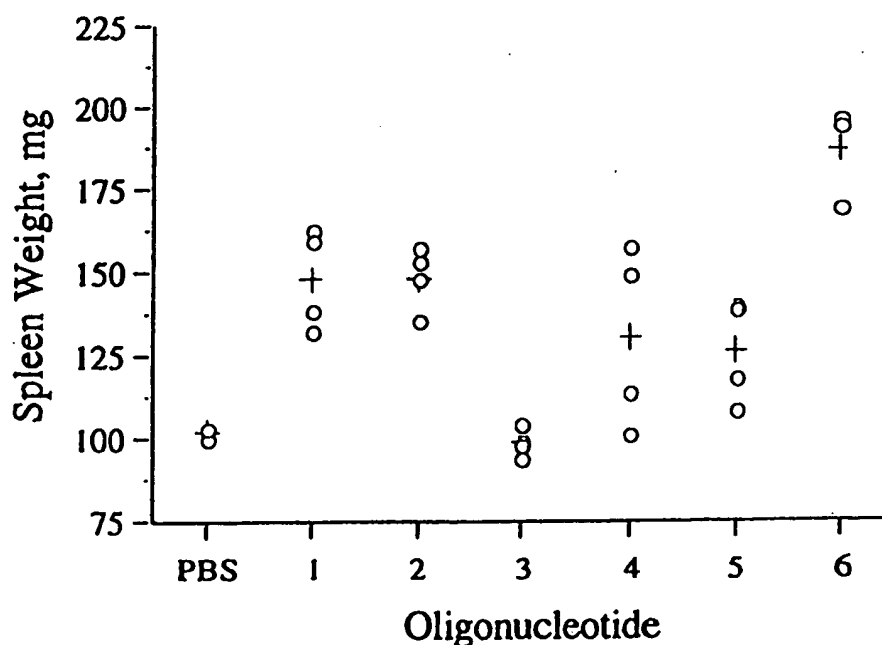
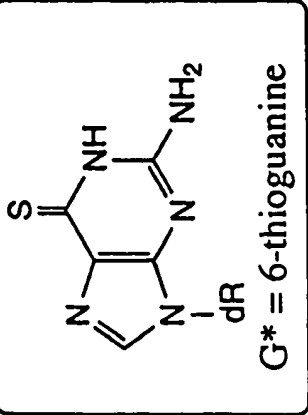


FIG. 21C

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

| <i>Oligo No.</i> | <i>Sequence & Modification</i> |
|------------------------|--|
| <u>SEQ ID NO.: 1</u> | 5'-CTATCTGAC <u>G</u> TTCTCTGT-3' (131-1) |
| <u>SEQ ID NO.: 101</u> | 5'-CTATCTGAC <u>G</u> *TTCTCTGT-3' (E 682) |
| <u>SEQ ID NO.: 102</u> | 5'-CTATCTGAG* <u>C</u> TTCTCTGT-3' (E 683) |



G* = 6-thioguanine

FIG. 22A

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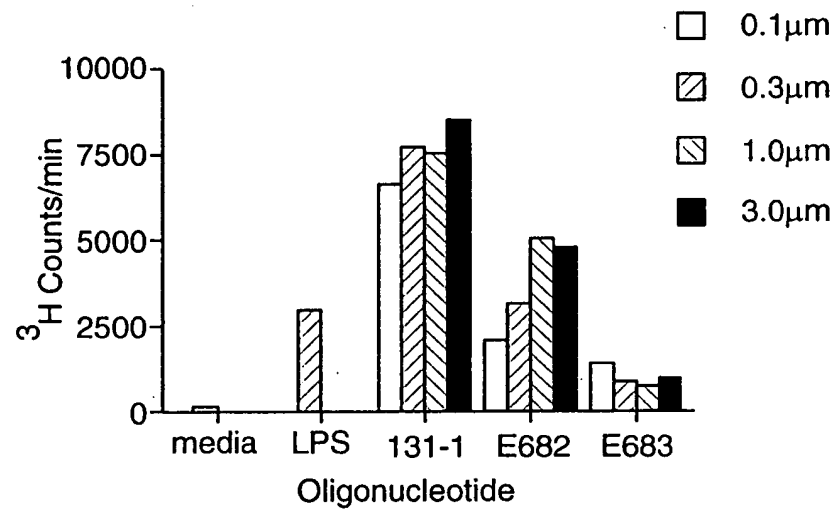


FIG. 22B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No. Sequence & Modification

| | | |
|----------------------|---|--------------------------------------|
| <u>SEQ ID NO.: 1</u> | 1 | 5'-CTATCTGAC <u>GT</u> TTCTCTGT-3' |
| <u>SEQ ID NO.: 2</u> | 2 | 5'-CTATCTGAC* <u>GT</u> TTCTCTGT-3' |
| <u>SEQ ID NO.: 3</u> | 3 | 5'-CTATCTGAC <u>CC</u> *TTCTCTGT-3' |
| <u>SEQ ID NO.: 4</u> | 4 | 5'-CTATCTGAC** <u>GT</u> TTCTCTGT-3' |
| <u>SEQ ID NO.: 5</u> | 5 | 5'-CTATCTGAC <u>CC</u> *TTCTCTGT-3' |

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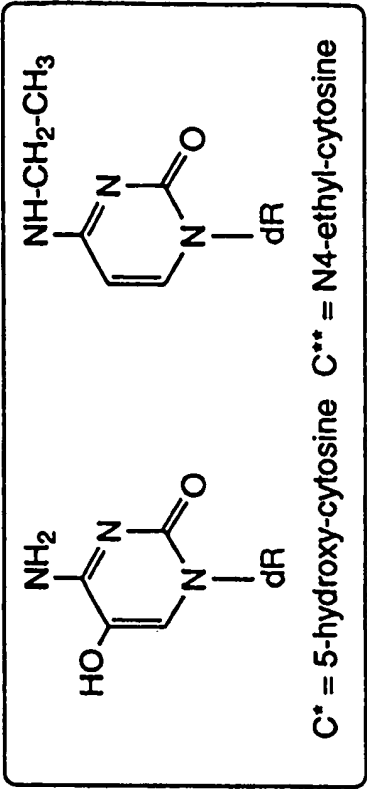


FIG. 23A

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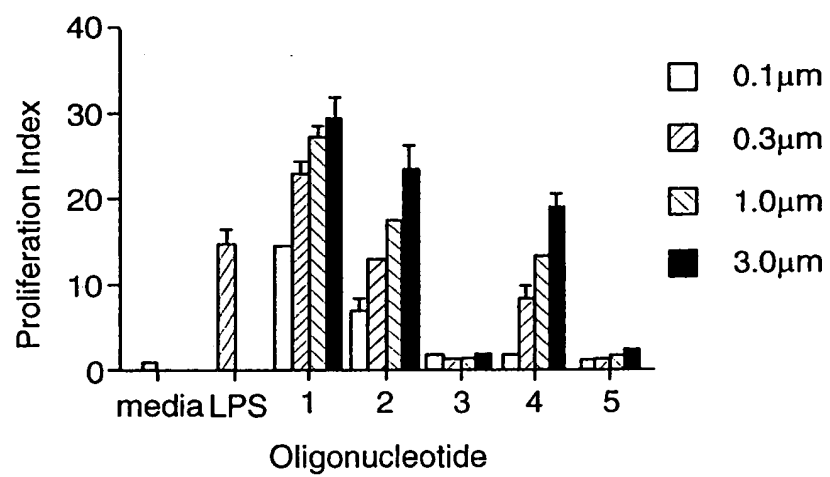


FIG. 23B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No. Sequence & Modification

| | | |
|----------------------|---|--------------------------------------|
| <u>SEQ ID NO.: 1</u> | 1 | 5'-CTATCTGAC <u>CGTTCTCTGT</u> -3' |
| <u>SEQ ID NO.: 2</u> | 2 | 5'-CTATCTGAC* <u>GTTCTCTGT</u> -3' |
| <u>SEQ ID NO.: 3</u> | 3 | 5'-CTATCTGAC <u>CC*TTCTCTGT</u> -3' |
| <u>SEQ ID NO.: 4</u> | 4 | 5'-CTATCTGAC* <u>GTTCTCTGT</u> -3' |
| <u>SEQ ID NO.: 5</u> | 5 | 5'-CTATCTGAC <u>CC**TTCTCTGT</u> -3' |

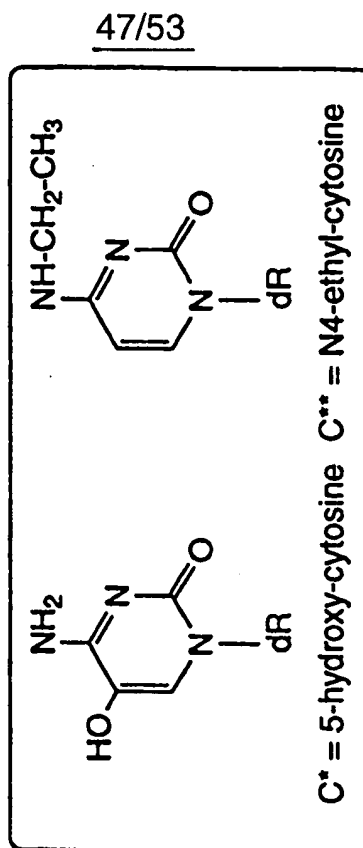


FIG. 24A

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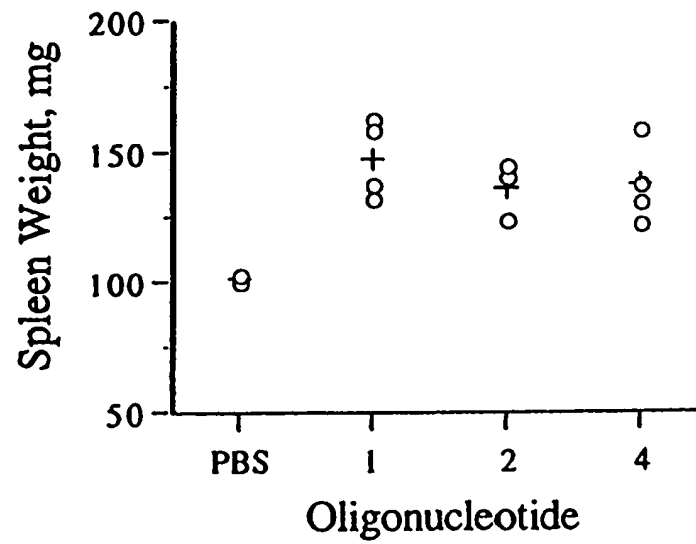


FIG. 24B

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OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No. *Sequence & Modification*

| | | |
|------------------------|---|------------------------------------|
| <u>SEQ ID NO.: 1</u> | 1 | 5'-CTATCTGAC <u>G</u> TTCTCTGT-3' |
| <u>SEQ ID NO.: 111</u> | 2 | 5'-CTATCTGAC* <u>G</u> TTCTCTGT-3' |
| <u>SEQ ID NO.: 112</u> | 3 | 5'-CTATCTGAC <u>C</u> *TTCTCTGT-3' |

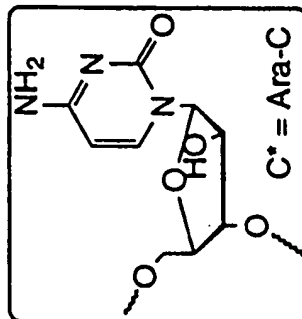


FIG. 25A

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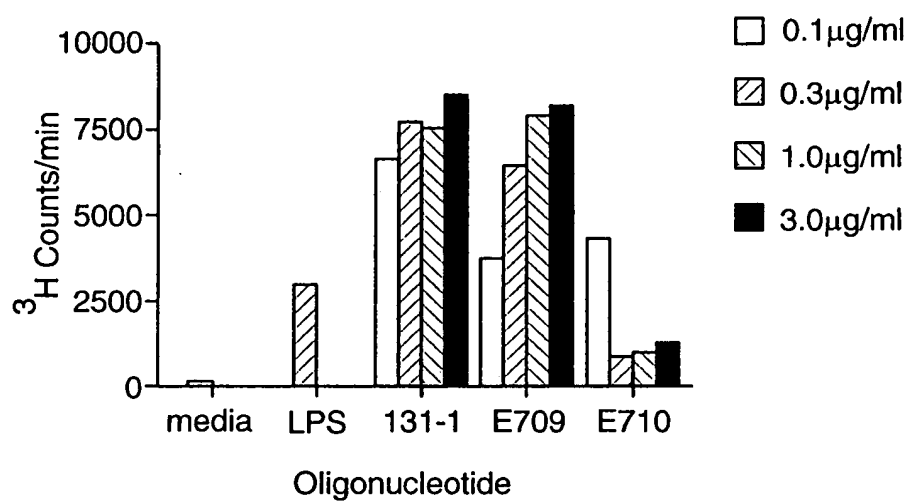


FIG. 25B

OLIGODEOXYNUCLEOTIDE PHOSPHOROTHIOATES AND SITE OF MODIFICATION

Oligo No. Sequence & Modification

| | | |
|--------------------|------------|--|
| <u>SEQ ID NO.:</u> | <u>1</u> | 5'-CTATCTGAC <u>CGTTCTCTGT</u> -3' (131-1) |
| <u>SEQ ID NO.:</u> | <u>103</u> | 5'-CTATCTGAC* <u>GTTCTCTGT</u> -3' (E 647) |
| <u>SEQ ID NO.:</u> | <u>104</u> | 5'-CTATXTGAC* <u>GTTCTCTGT</u> -3' (E 653) |

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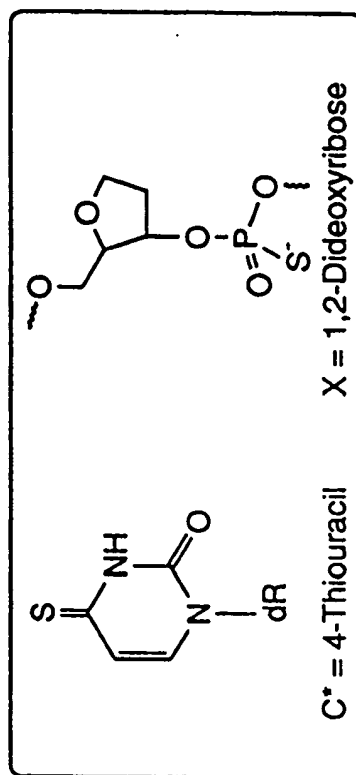


FIG. 26A

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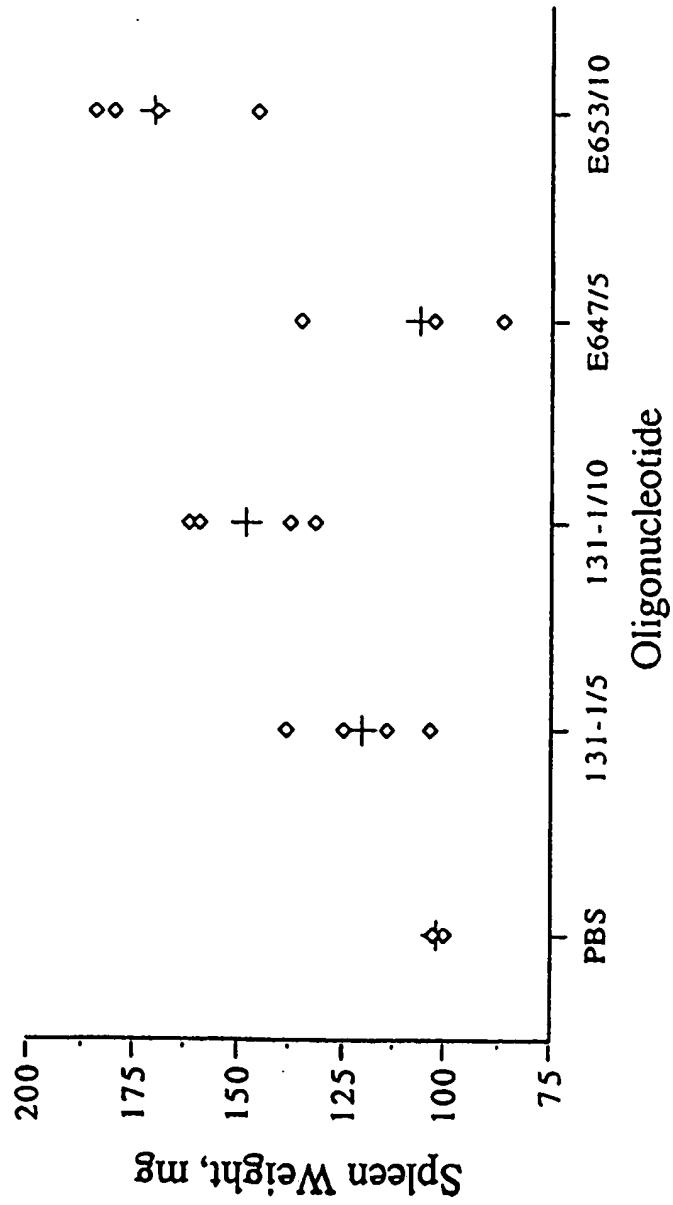


FIG. 26B

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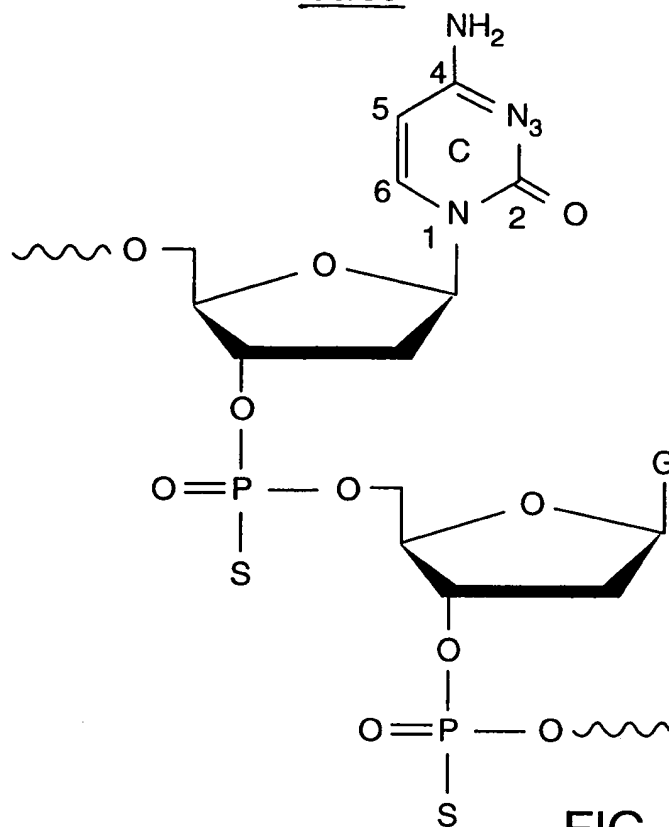


FIG. 27

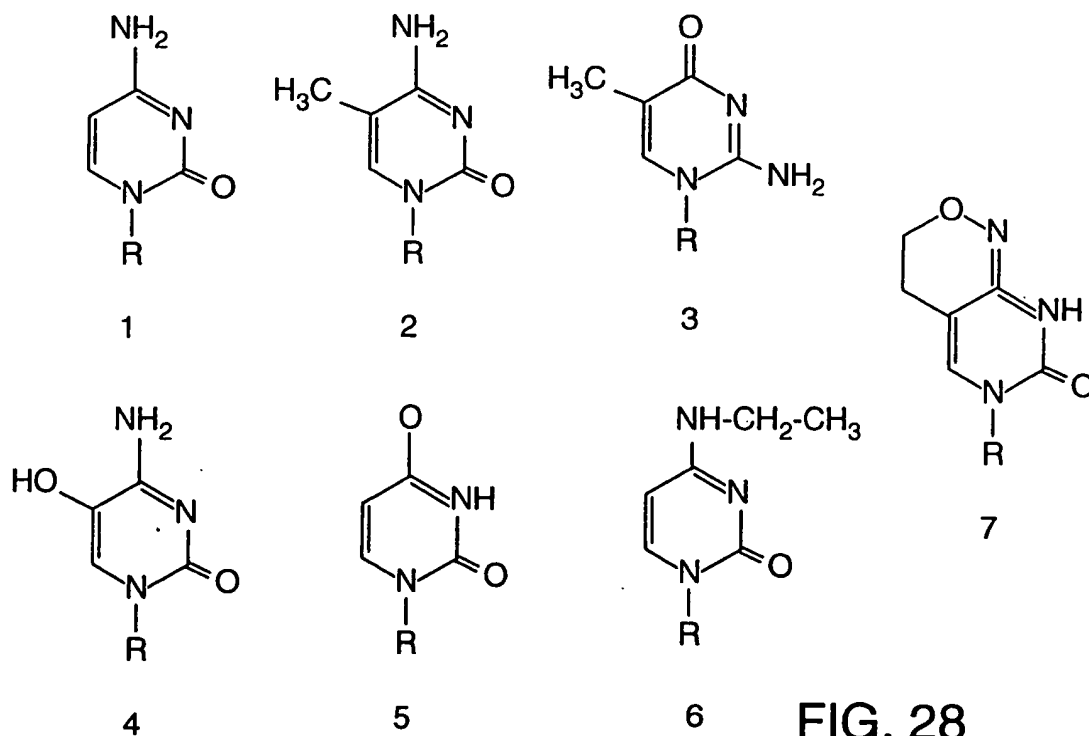


FIG. 28